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NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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FILE 'REGISTRY' ENTERED AT 12:24:24 ON 19 MAY 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2008 HIGHEST RN 1021422-05-8
DICTIONARY FILE UPDATES: 18 MAY 2008 HIGHEST RN 1021422-05-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

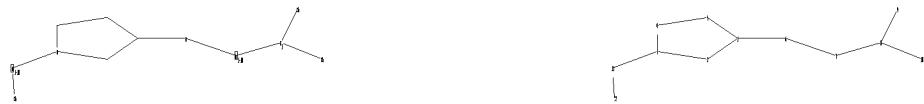
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10763974\Struc 6.str



chain nodes :

6 7 8 9 10 11 12

ring nodes :

1 2 3 4 5

chain bonds :

1-6 3-11 6-7 7-8 8-9 8-10 11-12

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 3-11 4-5 6-7 7-8 8-9 8-10 11-12

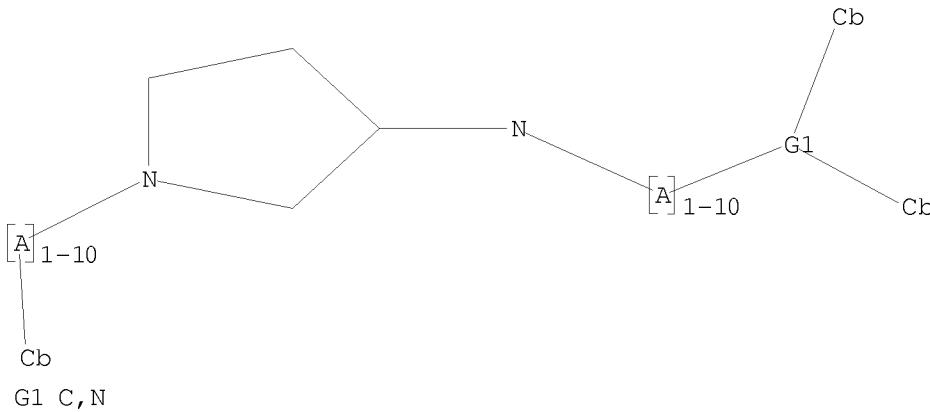
G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> l1
SAMPLE SEARCH INITIATED 12:24:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14571 TO ITERATE

13.7% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 284189 TO 298651
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 12:24:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 289101 TO ITERATE

100.0% PROCESSED 289101 ITERATIONS 90 ANSWERS
SEARCH TIME: 00.00.05

L3 90 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
178.36 178.57

FILE 'CAPLUS' ENTERED AT 12:25:06 ON 19 MAY 2008
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FILE COVERS 1907 - 19 May 2008 VOL 148 ISS 21
FILE LAST UPDATED: 18 May 2008 (20080518/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

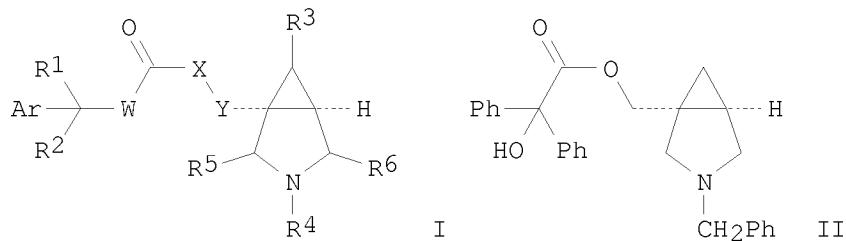
<http://www.cas.org/legal/infopolicy.html>

=> 13
L4 24 L3

=> d ibib abs hitstr 1-24

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1375122 CAPLUS
DOCUMENT NUMBER: 148:239019
TITLE: Substituted azabicyclohexane derivatives as muscarinic receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of respiratory, urinary and gastrointestinal diseases
INVENTOR(S): Metha, Anita; Silamkoti, Arundutt V.; Gupta, Jang B.
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, S. Afr.
SOURCE: S. African, 46pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 2005008200	A	20060726	ZA 2005-8200	20051011
PRIORITY APPLN. INFO.:			ZA 2005-8200	20051011
OTHER SOURCE(S):	CASREACT	148:239019		
GI				



AB The invention relates to derivs. of substituted azabicyclo[3.1.0]hexanes of formula I. The compds. of formula I can function as muscarinic receptor antagonists, and can be used in the treatment of various diseases of respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the preparation of compds. of formula I, pharmaceutical compns. containing them and methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein Ar is (un)substituted (hetero)aryl; R1 is H, OH, CH2OH, amino, alkoxy, carbamoyl and halo; R2 is H, alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl and (un)substituted (hetero)aryl; W is (CH2)0-1; X is O, S, NH and derivs., and absent; Y is (CH2)0-1; R3, R5 and R6 are independently H, lower alkyl, CO2H, CONH2, NH2 and CH2NH2; R4 is H, C1-15 (un)substituted (un)saturated (un)branched aliphatic hydrocarbon; and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereoisomers, N-oxides, polymorphs, and metabolites thereof, are claimed. Example compound II was prepared by esterification of 3-benzyl-3-azabicyclo[3.1.0]hexane-1-carboxylic acid; the resulting 3-benzyl-3-azabicyclo[3.1.0]hexane-1-carboxylic acid Et ester underwent hydride reduction to give 3-benzyl-1-hydroxymethyl-3-azabicyclo[3.1.0]hexane, which underwent sulfonylation and amidation with diphenylglycolic acid to give compound II. All the invention compds. were evaluated for their muscarinic antagonistic activity. From the assay, it was determined that compound II exhibited pKi values of 7.71 and 7.95 against

M2

and M3 resp.

IT

777890-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

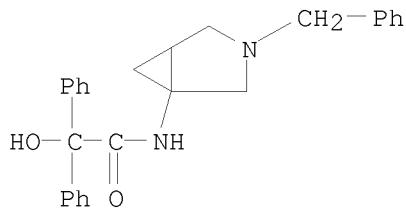
(preparation of substituted azabicyclohexane derivs. as muscarinic receptor antagonists useful in the treatment of respiratory, urinary and gastrointestinal diseases)

RN

777890-69-4 CAPLUS

CN

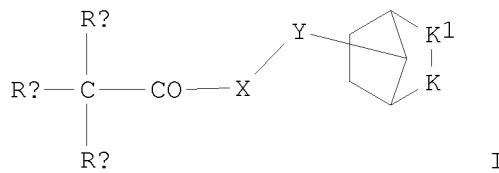
Benzeneacetamide, α -hydroxy- α -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-1-yl]- (CA INDEX NAME)



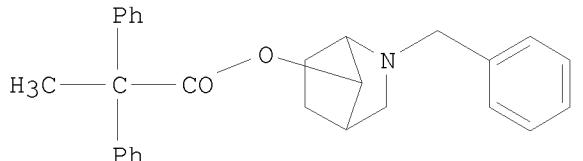
L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1114104 CAPLUS
 DOCUMENT NUMBER: 147:427240
 TITLE: Preparation of azabicyclo[2.2.1]heptyl compounds as muscarinic receptor antagonists for treating respiratory, urinary, and gastrointestinal disorders
 INVENTOR(S): Kumar, Naresh; Cliffe, Ian Anthony; Salman, Mohammad; Palle, Venkata P.; Kaur, Kirandeep; Shejul, Yogesh D.; Chugh, Anita; Gupta, Suman; Ray, Abhijit; Malhotra, Shivani; Shirumalla, Raj Kumar
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 63pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007110782	A1	20071004	WO 2007-IB50003	20070102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: IN 2005-DE3522 A 20051230
 OTHER SOURCE(S): CASREACT 147:427240; MARPAT 147:427240
 GI



I



II

AB This present invention generally relates to muscarinic receptor antagonists of general formula I (wherein K is $-\text{CH}_2$ and K1 is $-\text{NR1}$ or K1 is $-\text{CH}_2$ and K is $-\text{NR1}$ (wherein R1 is H, alkyl, aryl, etc.); Y is alkylene or a single bond; X is O, S or $-\text{NR5}$ (wherein R5 is H, alkyl, etc.); Ra is OH, alkoxy, alkyl or H; Rb and Rc are alkyl, alkenyl, alkynyl, etc.) which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed

compds., and the methods for treating diseases mediated through muscarinic receptors. Example compound II was prepared by reacting 2,2-diphenylpropanoic acid and 2-benzyl-7-bromo-2-azabicyclo[2.2.1]heptane. In radioligand binding assays, II had K_i values for rat M2 and M3 receptors in the range 2 - >500 nM.

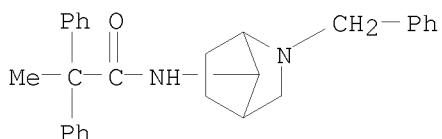
IT 951393-85-4P, N-(2-Benzyl-2-azabicyclo[2.2.1]hept-7-yl)-2,2-diphenylpropanamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of azabicyclo[2.2.1]heptyl compds. as muscarinic receptor antagonists for treating respiratory, urinary, and gastrointestinal disorders)

RN 951393-85-4 CAPLUS

CN Benzeneacetamide, α -methyl- α -phenyl-N-[2-(phenylmethyl)-2-azabicyclo[2.2.1]hept-7-yl]- (CA INDEX NAME)



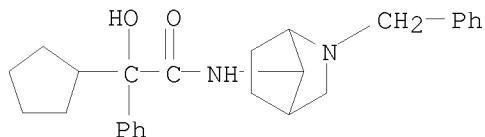
IT 951393-96-7P, N-(2-Benzyl-2-azabicyclo[2.2.1]hept-7-yl)-2-cyclopentyl-2-hydroxy-2-phenylacetamide 951393-98-9P,

N-(2-Benzyl-2-azabicyclo[2.2.1]hept-7-yl)-2-hydroxy-2,2-diphenylacetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of azabicyclo[2.2.1]heptyl compds. as
 muscarinic receptor antagonists for treating respiratory, urinary, and
 gastrointestinal disorders)

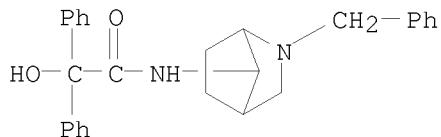
RN 951393-96-7 CAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-[2-(phenylmethyl)-
 2-azabicyclo[2.2.1]hept-7-yl]- (CA INDEX NAME)



RN 951393-98-9 CAPLUS

CN Benzeneacetamide, α -hydroxy- α -phenyl-N-[2-(phenylmethyl)-2-
 azabicyclo[2.2.1]hept-7-yl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:726515 CAPLUS

DOCUMENT NUMBER: 147:143271

TITLE: Preparation of pyrrolidine derivatives as Cannabinoid
 receptor (CB1) antagonists

INVENTOR(S): Moritani, Yasunori; Kokubo, Shigeru; Tsuboi, Yasunori;
 Okagaki, Chieko; Oku, Akira; Hirano, Naomitsu

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 222pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007169270	A	20070705	JP 2006-316427	20061124
PRIORITY APPLN. INFO.:			JP 2005-339547	A 20051125
OTHER SOURCE(S):	MARPAT	147:143271		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 and R2 independently = (un)substituted aryl, heteroaryl or together they may form benzocycloheptane; R3 and R4 independently = H, OH, hydroxyalkyl, etc. or together they may form an oxo group; R5 = H or alkyl; Y = single bond, O or -NR7-; R6 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R7 = alkyl or alkyloxycarbonylalkyl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CB1 receptor. Thus, e.g., compound (II) was prepared by benzoylation of (3R)-1-[bis-(4-chlorophenyl)methyl]-3-aminopyrrolidine (preparation given) with 4-(trifluoromethoxy)benzoyl chloride. I as antagonists of CB1 receptor should prove useful in the treatment of diseases such as but not limited to depression, migraine and obesity. Pharmaceutical compns. comprising I are disclosed.

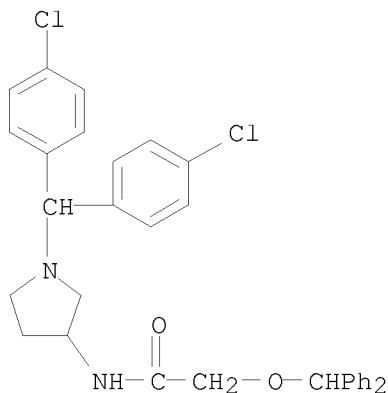
IT 870626-02-1P 870626-37-2P 870626-40-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidine derivs. as Cannabinoid receptor (CB1) antagonists)

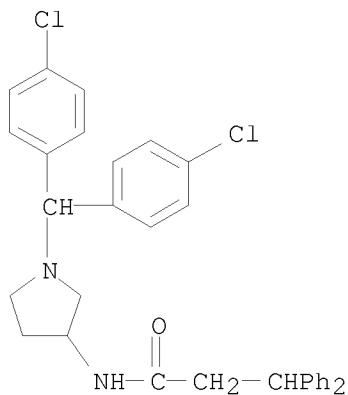
RN 870626-02-1 CAPLUS

CN Acetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-2-(diphenylmethoxy)- (CA INDEX NAME)



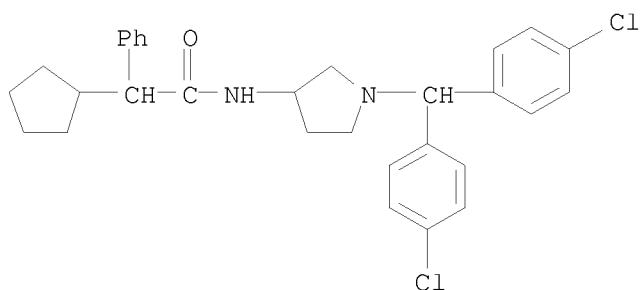
RN 870626-37-2 CAPLUS

CN Benzenepropanamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]- β -phenyl- (CA INDEX NAME)



RN 870626-40-7 CAPLUS

CN Benzeneacetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-α-cyclopentyl- (CA INDEX NAME)



L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:531684 CAPLUS

DOCUMENT NUMBER: 147:166597

TITLE: Solid-phase synthesis of multiple classes of peptidomimetics from versatile resin-bound aldehyde intermediates

AUTHOR(S): Scott, William L.; Martynow, Jacek G.; Huffman, John C.; O'Donnell, Martin J.

CORPORATE SOURCE: Department of Chemistry and Chemical Biology, Indiana University Purdue University Indianapolis, Indianapolis, IN, 46202-3274, USA

SOURCE: Journal of the American Chemical Society (2007), 129(22), 7077-7088

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

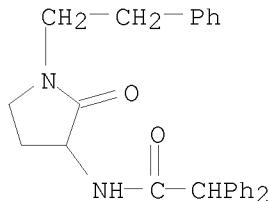
LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:166597

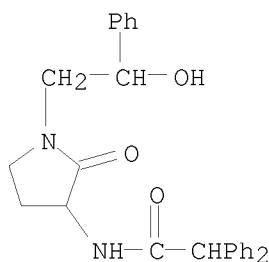
AB A wide variety of highly substituted lactam containing peptidomimetic scaffolds were prepared by solid-phase synthesis from a single, versatile class of resin-bound aldehyde intermediates. These included monocyclics, bicyclics, tricyclics, and tetracyclics. The key intermediate was readily

synthesized from resin-bound natural or unnatural α -amino acids. The synthetic procedures permitted the construction of a large diversity of substitution patterns for ready use in combinatorial chemical. In every case, the release of final products from resin was achieved by a cyclitive cleavage process. Since this depends on successful completion of multiple intermediate synthetic steps, the products are often quite pure, even though previous steps involve only a filtration workup. The mild conditions for many of these synthetic procedures offered the promise of using this chemical in peptide fragment condensations to produce modified peptides, at either the N-terminus or C-terminus, or as individually assembled peptide segments with a wide variety of conformationally restricted peptidomimetic linkers at the point of juncture.

IT 944070-98-8P 944070-99-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase preparation of peptidomimetics using resin-boundallylamino acids and amino aldehydes as key intermediates and reductive lactonization/cleavage, reductive amination/lactamization cleavage as key steps)
 RN 944070-98-8 CAPLUS
 CN Benzeneacetamide, N-[2-oxo-1-(2-phenylethyl)-3-pyrrolidinyl]- α -phenyl- (CA INDEX NAME)



RN 944070-99-9 CAPLUS
 CN Benzeneacetamide, N-[1-(2-hydroxy-2-phenylethyl)-2-oxo-3-pyrrolidinyl]- α -phenyl- (CA INDEX NAME)



REFERENCE COUNT: 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:295302 CAPLUS
 DOCUMENT NUMBER: 144:350723
 TITLE: Preparation of phenyl-substituted amine diols and related compounds as muscarinic receptor antagonists

INVENTOR(S): for treating diseases such as those of the respiratory, urinary and gastrointestinal systems
 Salman, Mohammad; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Chugh, Anita; Gupta, Suman

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032994	A2	20060330	WO 2005-IB2823	20050923
WO 2006032994	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1794161	A2	20070613	EP 2005-789768	20050923
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN01979	A	20070817	IN 2007-DN1979	20070314
PRIORITY APPLN. INFO.:			US 2004-613001P	P 20040924
			WO 2005-IB2823	W 20050923

OTHER SOURCE(S): CASREACT 144:350723; MARPAT 144:350723

AB This present invention generally relates to muscarinic receptor antagonists (PhC(X)(OH)C(:G)CH2N(R1)(R2) (I) or PhC(X)(OH)C(G)CH2N(R1)(R2) (II); variables defined below; e.g. 1-cyclopentyl-3-([1,4]diazepan-1-yl)-1-hydroxy-1-phenylpropan-2-one), which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I and II: X = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, heterocyclylalkyl, or heteroarylalkyl; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, aryloxy, -(CH2)0-2-heterocyclylalkyl, or -(CH2)0-2-heteroarylalkyl; R2 = -(CH2)0-2-heteroaryl, -(CH2)0-2-heterocyclyl, or -(CH2)0-2-aryl, or R1 and R2 may together combine to form a (un)saturated monocyclic or bicyclic ring system containing 0-4 heteroatoms (O, N or S) wherein the ring can be (un)substituted with ≥ 1 of alkyl, alkenyl, alkynyl, cycloalkyl, alkaryl, alkoxy, aryloxy, et al.; G = -OR [R = H or unsubstituted lower (C1-C6) alkyl], -NOR, -NHYR' (R' is H, alkyl or aryl and Y is -C(O), -SO or -SO2), or O (provided that R1 and R2 together does not form a pyrrolidine, 4-hydroxypiperidine, 4-

pyrrolidinylpiperidine, piperazine or azabicyclo[3.1.0]hexane ring). Methods of preparation are claimed and preps. and/or characterization data for .apprx.80 examples of I are included. For example, 1-cyclopentyl-1-hydroxy-1-phenyl-3-(piperidin-1-yl)propan-2-one was prepared (86 %) from piperidine, Et3N and 3-bromo-1-cyclopentyl-1-hydroxy-1-phenyl-2-propanone (preparation described) in CH2Cl2. Ki values for I tested in a radioligand binding assay range from .apprx.5 nM to .apprx.10 μ M for M2 receptors, and from .apprx.0.5 nM to .apprx.10 μ M for M3 receptors. Selectivity for bladder pressure inhibition vs. salivation was determined for compound 3 examples of I and was .apprx.2, similar to that determined for tolterodine.

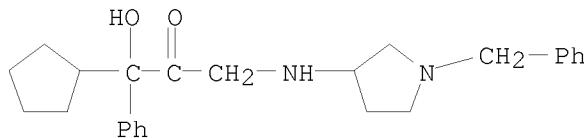
IT 881098-12-0P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1-cyclopentyl-1-hydroxy-1-phenylpropan-2-one

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

RN 881098-12-0 CAPLUS

CN 2-Propanone, 1-cyclopentyl-1-hydroxy-1-phenyl-3-[(1-(phenylmethyl)-3-pyrrolidinyl]amino]- (CA INDEX NAME)



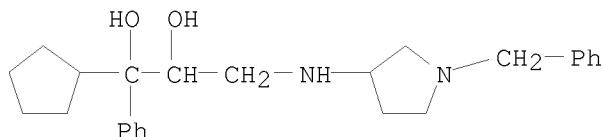
IT 881098-43-7P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1-cyclopentyl-1-phenylpropane-1,2-diol 881098-50-6P, 3-[(1-Benzylpyrrolidin-3-yl)amino]-1,1-diphenylpropane-1,2-diol 881098-74-4P, 3-[(1-Benzylpyrrolidin-3-yl)(methyl)amino]-1-cyclopentyl-1-hydroxy-1-phenylpropan-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of Ph-substituted amine diols and related compds. as muscarinic receptor antagonists for treating diseases such as those of respiratory, urinary and gastrointestinal systems)

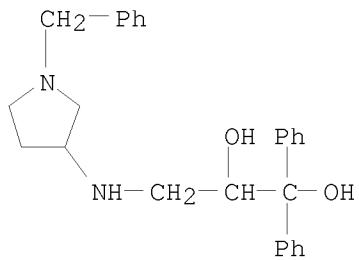
RN 881098-43-7 CAPLUS

CN 1,2-Propanediol, 1-cyclopentyl-1-phenyl-3-[(1-(phenylmethyl)-3-pyrrolidinyl]amino]- (CA INDEX NAME)



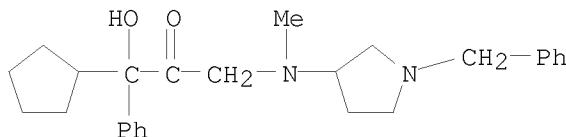
RN 881098-50-6 CAPLUS

CN 1,2-Propanediol, 1,1-diphenyl-3-[(1-(phenylmethyl)-3-pyrrolidinyl)amino]- (CA INDEX NAME)



RN 881098-74-4 CAPLUS

CN 2-Propanone, 1-cyclopentyl-1-hydroxy-3-[methyl[1-(phenylmethyl)-3-pyrrolidinyl]amino]-1-phenyl- (CA INDEX NAME)



L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1290266 CAPLUS

DOCUMENT NUMBER: 144:22804

TITLE: Preparation of pyrrolidine derivatives as CB1 receptor antagonists

INVENTOR(S): Moritani, Yasunori; Furukubo, Shigeru; Tsuboi, Yasunori; Okagaki, Chieko; Oku, Akira; Hirano, Naomitsu

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115977	A1	20051208	WO 2005-JP10197	20050527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2006219472	A	20060824	JP 2005-155309	20050527

EP 1748980	A1	20070207	EP 2005-745829	20050527
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1960970	A	20070509	CN 2005-80017310	20050527
US 20070167440	A1	20070719	US 2006-579950	20061109
PRIORITY APPLN. INFO.:				
			JP 2004-160059	A 20040528
			US 2004-575409P	P 20040601
			JP 2005-7833	A 20050114
			US 2005-644992P	P 20050121
			WO 2005-JP10197	W 20050527

OTHER SOURCE(S): MARPAT 144:22804
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

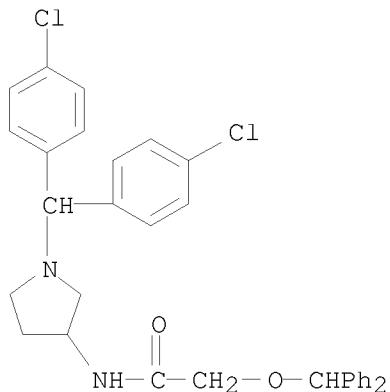
AB Title compds. I [R1 and R2 independently = (un)substituted aryl, heteroaryl or together they may form benzocycloheptane; R3 and R4 independently = H, OH, hydroxyalkyl, etc. or together they may form an oxo group; R5 = H or alkyl; Y = single bond, O or -NR7-; R6 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R7 = alkyl or alkyloxycarbonylalkyl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CB1 receptor. Thus, e.g., II was prepared by benzylation of (3R)-1-[bis-(4-chlorophenyl)methyl]-3-aminopyrrolidine (preparation given) with 4-(trifluoromethoxy)benzoyl chloride. I as antagonists of CB1 receptor should prove useful in the treatment of diseases such as but not limited to depression, migraine and obesity. Pharmaceutical compns. comprising I are disclosed.

IT 870626-02-1P 870626-37-2P 870626-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidine derivs. as CB1 receptor antagonists)

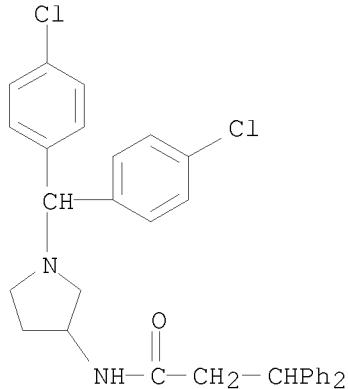
RN 870626-02-1 CAPLUS

CN Acetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-2-(diphenylmethoxy)- (CA INDEX NAME)



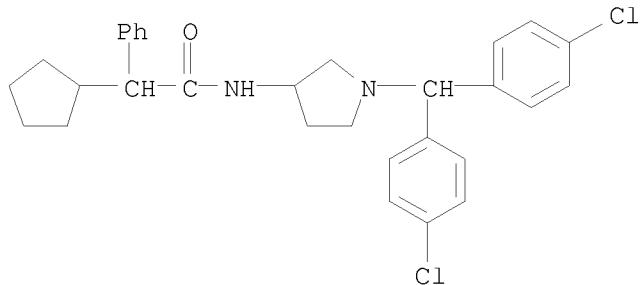
RN 870626-37-2 CAPLUS

CN Benzenepropanamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]-
β-phenyl- (CA INDEX NAME)



RN 870626-40-7 CAPLUS

CN Benzeneacetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-pyrrolidinyl]- α -cyclopentyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:672888 CAPLUS

DOCUMENT NUMBER: 143:172750

TITLE: Preparation of 3-aminopyrrolidine useful as N-type calcium channel blockers

INVENTOR(S): Pajouhesh, Hassan; Snutch, Terrance P.

PATENT ASSIGNEE(S): Can.

SOURCE: U.S.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

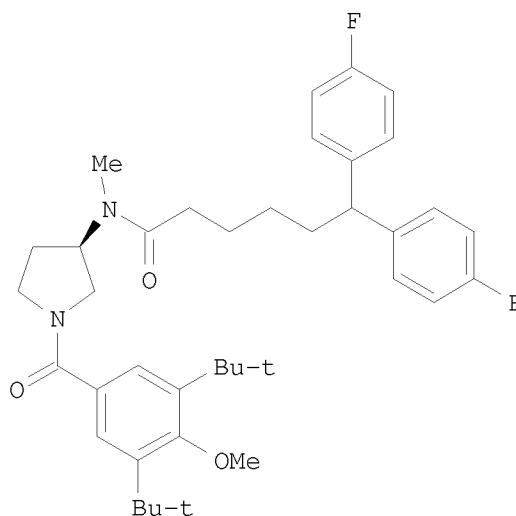
FAMILY ACC. NUM. COUNT: 1

PATENT IN

DIFFERENT INFORMATION.

PATENT NO.

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US 20050165065	A1 20050728	US 2004-763974	20040122
AU 2005206226	A1 20050804	AU 2005-206226	20050121
CA 2553773	A1 20050804	CA 2005-2553773	20050121
WO 2005070919	A1 20050804	WO 2005-CA73	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1718633	A1 20061108	EP 2005-700289	20050121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1976920	A 20070606	CN 2005-80006161	20050121
BR 2005007054	A 20070612	BR 2005-7054	20050121
JP 2007518742	T 20070712	JP 2006-549809	20050121
IN 2006KN02111	A 20070518	IN 2006-KN2111	20060726
PRIORITY APPLN. INFO.:		US 2004-763974	A 20040122
		WO 2005-CA73	W 20050121
OTHER SOURCE(S):	CASREACT 143:172750; MARPAT 143:172750		
GI			



AB Title compds. I, II; X1 = N, CR3; W = L2A3, X1A1A2; L1, L2 = (substituted) alkylene, alkenylene optionally interrupted by N, O, S; A1, A2, A3 = (fused) (substituted) 6-7 membered (hetero)aliphatyl, (hetero)aryl; R1, R2 = noninterfering substituent; R3 = H, noninterfering substituent; n = 0-3; [with a proviso], were prepared. The invention compds. generally contain ≥ 1 benzhydryl moiety, and are useful in treating conditions which benefit from blocking calcium ion channels. For instance,

3-aminopyrrolidine derivative III (IC₅₀ at 0.067 Hz: 67 nM) was prepared via amidation of 6,6-bis-(4-fluorophenyl)hexanoic acid by (R)-(1-benzylpyrrolidin-3-yl)(methyl)amine, N-debenzylation, and subsequent amidation of the obtained aminopyrrolidine derivative by 3,5-di-tert-butyl-4-methoxybenzoic acid.

IT 861104-36-1P 861104-39-4P 861104-41-8P
 861104-42-9P 861104-46-3P 861104-47-4P
 861104-48-5P 861104-50-9P 861104-51-0P
 861104-52-1P 861104-56-5P 861104-58-7P
 861104-59-8P 861104-60-1P 861104-61-2P
 861104-62-3P 861104-63-4P 861104-64-5P
 861104-66-7P 861104-68-9P 861104-70-3P
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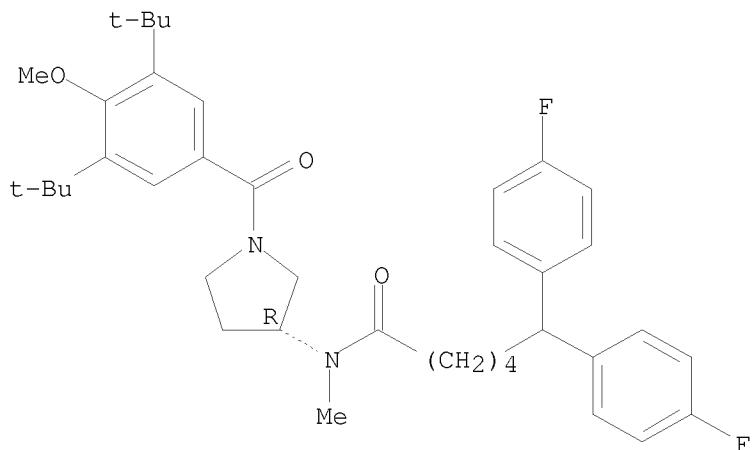
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-36-1 CAPLUS

CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro- α -(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

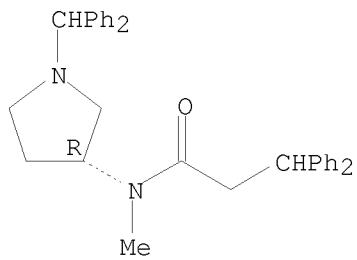
Absolute stereochemistry.



RN 861104-39-4 CAPLUS

CN Benzenehexanamide, N-[(3R)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl- β -phenyl- (CA INDEX NAME)

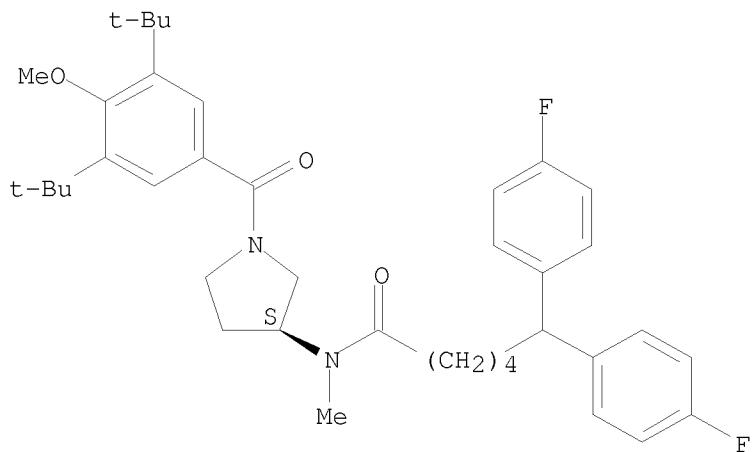
Absolute stereochemistry.



RN 861104-41-8 CAPLUS

CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-3-pyrrolidinyl]-4-fluoro- α -(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

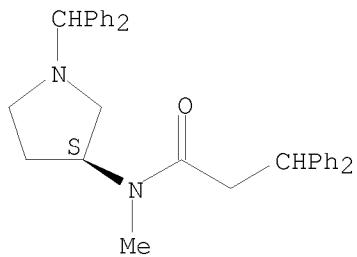
Absolute stereochemistry.



RN 861104-42-9 CAPLUS

CN Benzenepropanamide, N-[(3S)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl- β -phenyl- (CA INDEX NAME)

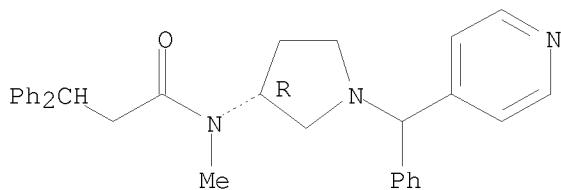
Absolute stereochemistry.



RN 861104-46-3 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3R)-1-(phenyl-4-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

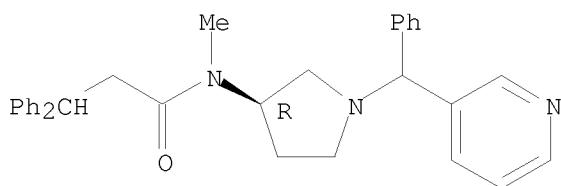
Absolute stereochemistry.



RN 861104-47-4 CAPLUS

CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3R)-1-(phenyl-3-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

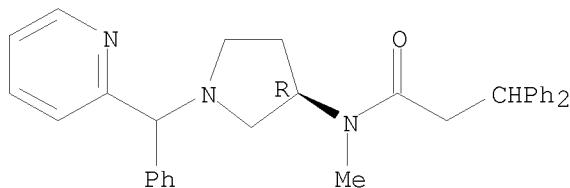
Absolute stereochemistry.



RN 861104-48-5 CAPLUS

CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3R)-1-(phenyl-2-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

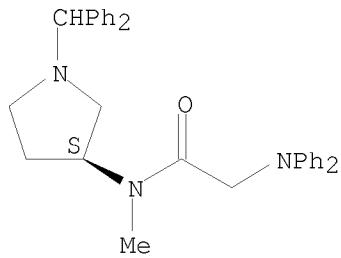
Absolute stereochemistry.



RN 861104-50-9 CAPLUS

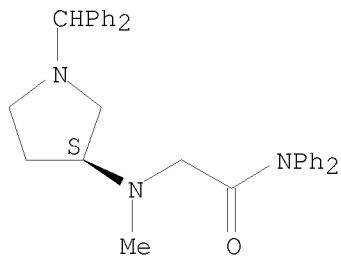
CN Acetamide, 2-(diphenylamino)-N-[(3S)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



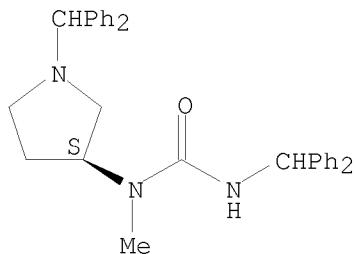
RN 861104-51-0 CAPLUS
CN Acetamide, 2-[(3S)-1-(diphenylmethyl)-3-pyrrolidinyl]methylamino]-N,N-diphenyl- (CA INDEX NAME)

Absolute stereochemistry.



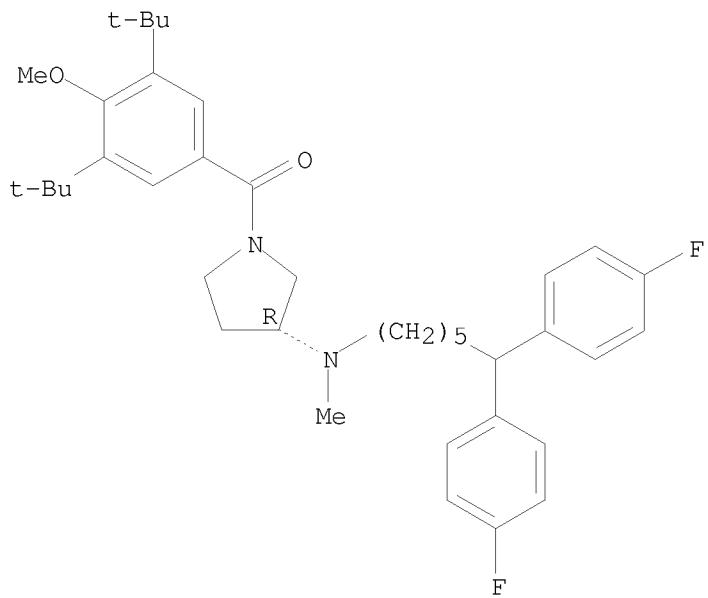
RN 861104-52-1 CAPLUS
CN Urea, N'-(diphenylmethyl)-N-[(3S)-1-(diphenylmethyl)-3-pyrrolidinyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 861104-56-5 CAPLUS
CN Methanone, [3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl][(3R)-3-[(6,6-bis(4-fluorophenyl)hexyl)methylamino]-1-pyrrolidinyl]- (CA INDEX NAME)

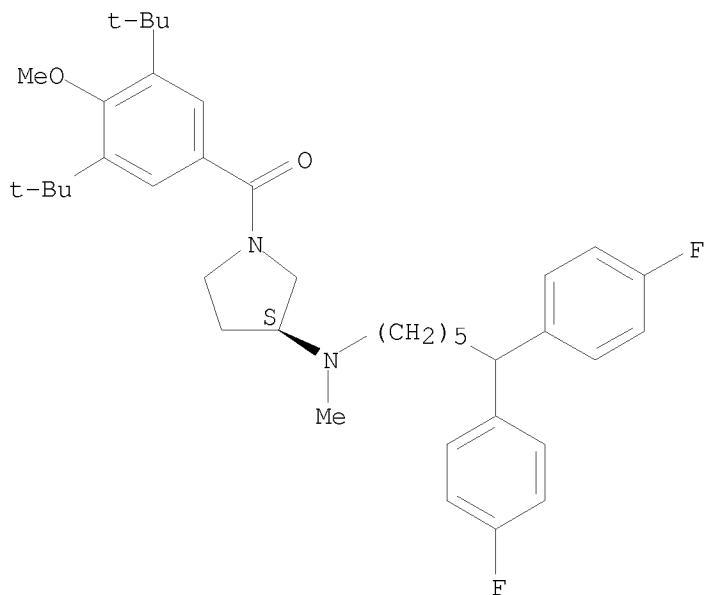
Absolute stereochemistry.



RN 861104-58-7 CAPLUS

CN Methanone, [3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl][(3S)-3-[(6,6-bis(4-fluorophenyl)hexyl)methylamino]-1-pyrrolidinyl]- (CA INDEX NAME)

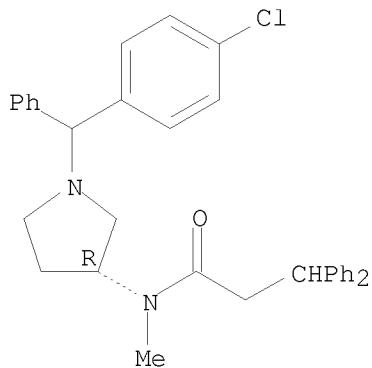
Absolute stereochemistry.



RN 861104-59-8 CAPLUS

CN Benzenepropanamide, N-[(3R)-1-[(4-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (CA INDEX NAME)

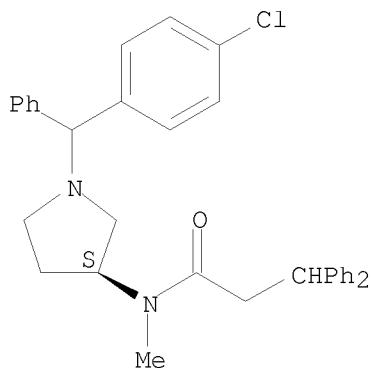
Absolute stereochemistry.



RN 861104-60-1 CAPLUS

CN Benzenepropanamide, N-[(3S)-1-[(4-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl- β -phenyl- (CA INDEX NAME)

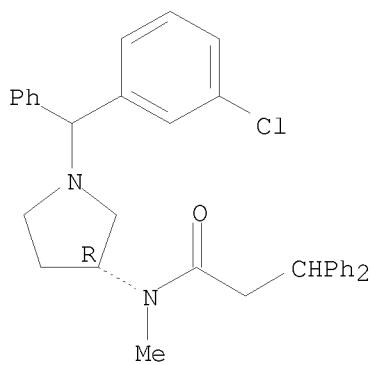
Absolute stereochemistry.



RN 861104-61-2 CAPLUS

CN Benzenepropanamide, N-[(3R)-1-[(3-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl- β -phenyl- (CA INDEX NAME)

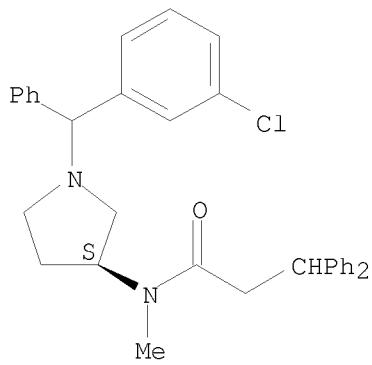
Absolute stereochemistry.



RN 861104-62-3 CAPLUS

CN Benzenepropanamide, N-[(3S)-1-[(3-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (CA INDEX NAME)

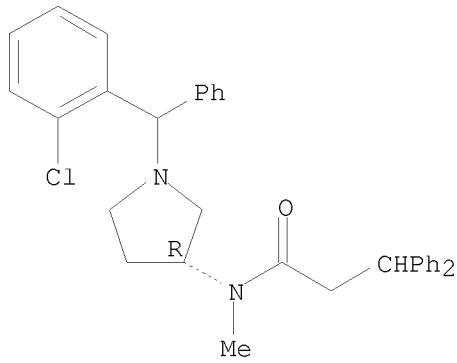
Absolute stereochemistry.



RN 861104-63-4 CAPLUS

CN Benzenepropanamide, N-[(3R)-1-[(2-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl-β-phenyl- (CA INDEX NAME)

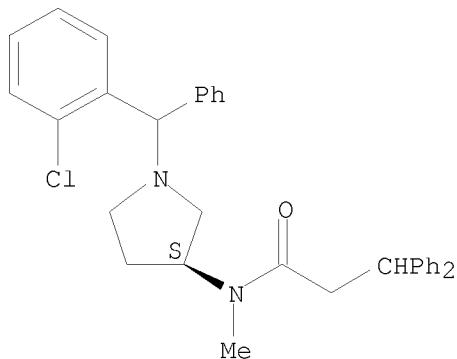
Absolute stereochemistry.



RN 861104-64-5 CAPLUS

CN Benzene propanamide, N-[(3S)-1-[(2-chlorophenyl)phenylmethyl]-3-pyrrolidinyl]-N-methyl- β -phenyl- (CA INDEX NAME)

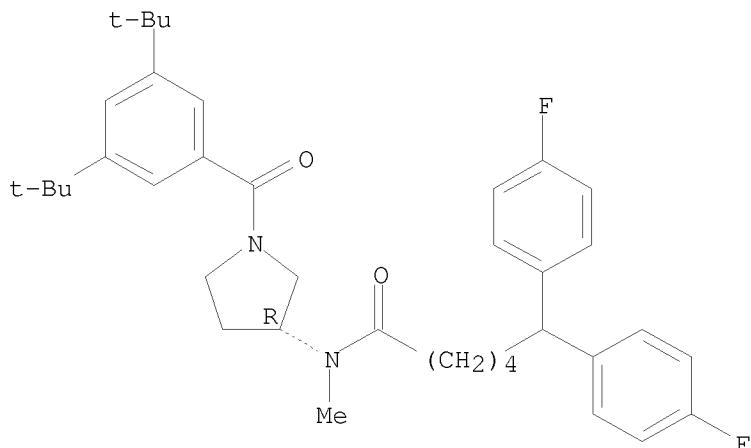
Absolute stereochemistry.



RN 861104-66-7 CAPLUS

CN Benzenehexanamide, N-[(3R)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro- ϵ -(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

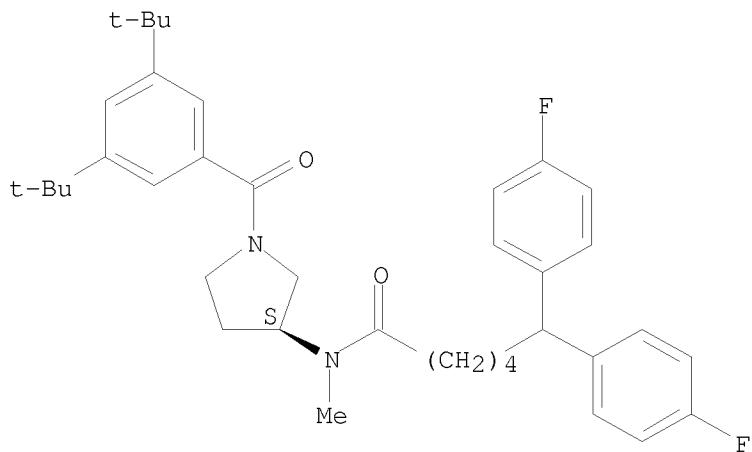
Absolute stereochemistry.



RN 861104-68-9 CAPLUS

CN Benzenehexanamide, N-[(3S)-1-[3,5-bis(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro- ϵ -(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

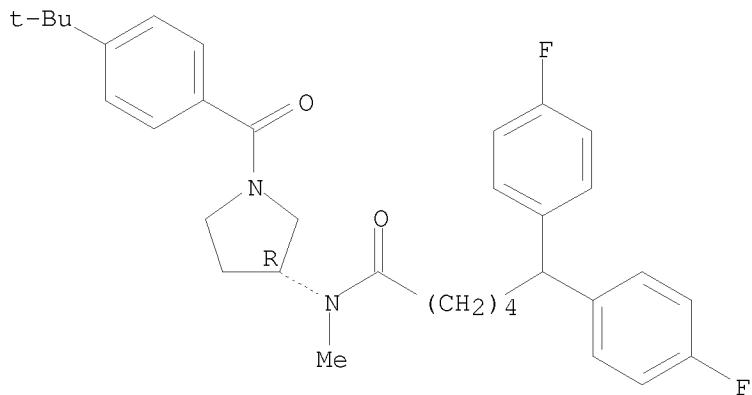
Absolute stereochemistry.



RN 861104-70-3 CAPLUS

CN Benzenehexanamide, N-[(3R)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

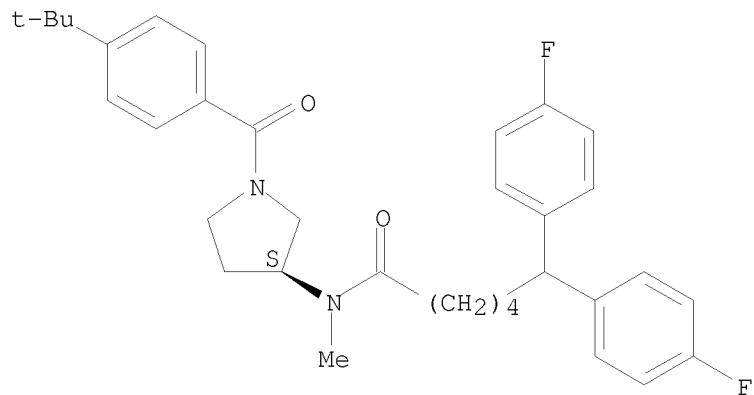
Absolute stereochemistry.



RN 861104-72-5 CAPLUS

CN Benzenehexanamide, N-[(3S)-1-[4-(1,1-dimethylethyl)benzoyl]-3-pyrrolidinyl]-4-fluoro-ε-(4-fluorophenyl)-N-methyl- (CA INDEX NAME)

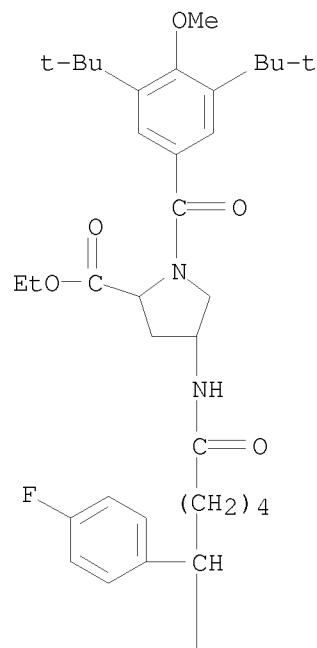
Absolute stereochemistry.



RN 861104-76-9 CAPLUS

CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester (CA INDEX NAME)

PAGE 1-A



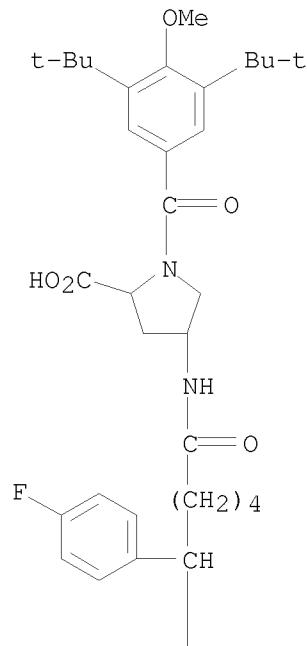
PAGE 2-A



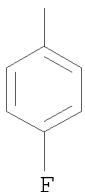
RN 861104-77-0 CAPLUS

CN Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]- (CA INDEX NAME)

PAGE 1-A



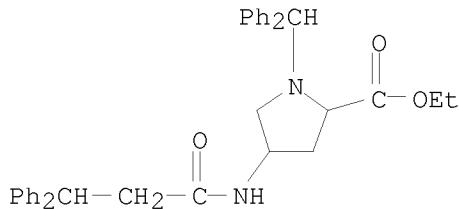
PAGE 2-A



RN 861104-78-1 CAPLUS

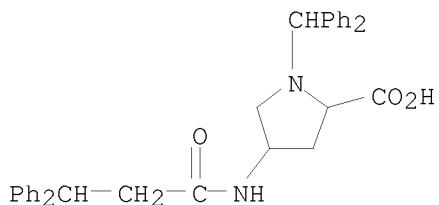
CN Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, ethyl

ester (CA INDEX NAME)



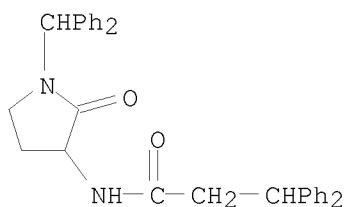
RN 861104-79-2 CAPLUS

CN Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]- (CA INDEX NAME)



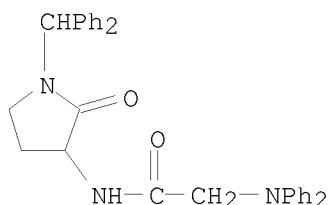
RN 861104-80-5 CAPLUS

CN Benzenepropanamide, N-[1-(diphenylmethyl)-2-oxo-3-pyrrolidinyl]-β-phenyl- (CA INDEX NAME)



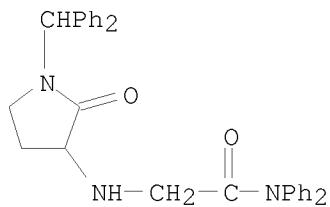
RN 861104-81-6 CAPLUS

CN Acetamide, 2-(diphenylamino)-N-[1-(diphenylmethyl)-2-oxo-3-pyrrolidinyl]- (CA INDEX NAME)



RN 861104-82-7 CAPLUS

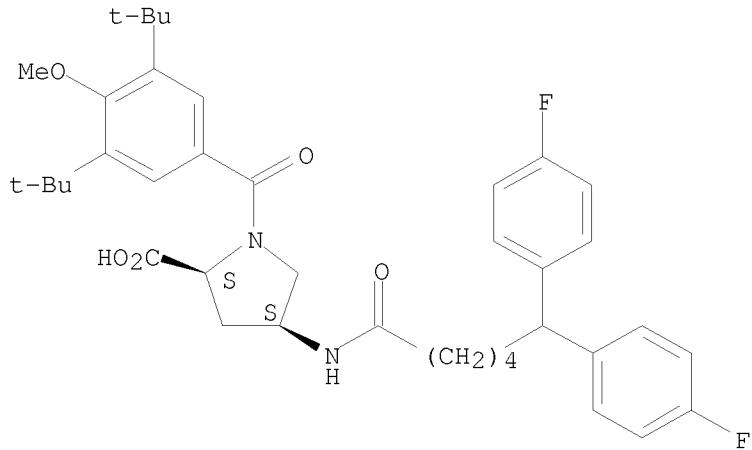
CN Acetamide, 2-[(1-(diphenylmethyl)-2-oxo-3-pyrrolidinyl)amino]-N,N-diphenyl- (CA INDEX NAME)



RN 861104-92-9 CAPLUS

CN L-Proline, 1-[3,5-bis(1,1-dimethylpropyl)-4-methoxybenzoyl]-4-[(6,6-bis(4-fluorophenyl)-1-oxohexyl)amino]-, (4S)- (CA INDEX NAME)

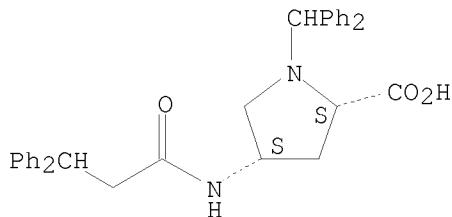
Absolute stereochemistry.



RN 861104-95-2 CAPLUS

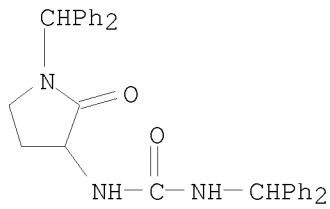
CN L-Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 861104-98-5 CAPLUS

CN Urea, N-(diphenylmethyl)-N'-(1-(diphenylmethyl)-2-oxo-3-pyrrolidinyl)- (CA INDEX NAME)

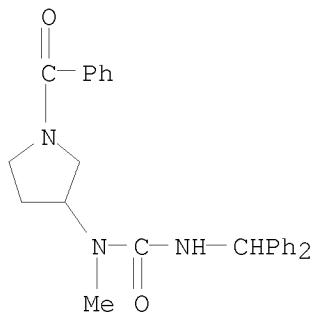


IT 861104-86-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-86-1 CAPLUS

CN Urea, N-(1-benzoyl-3-pyrrolidinyl)-N'-(diphenylmethyl)-N-methyl- (CA INDEX NAME)



IT 861104-35-0P 861104-83-8P 861104-87-2P

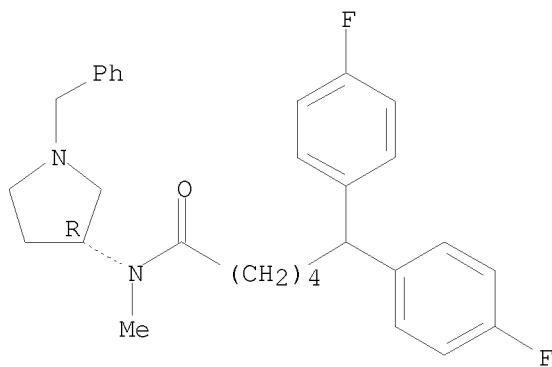
861104-89-4P 861104-91-8P 861104-93-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-35-0 CAPLUS

CN Benzenehexanamide, 4-fluoro- ϵ -(4-fluorophenyl)-N-methyl-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

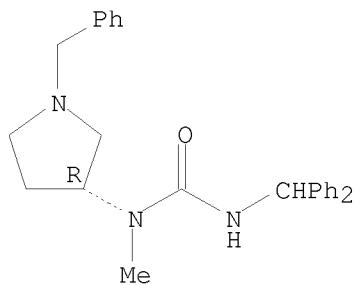
Absolute stereochemistry.



RN 861104-83-8 CAPLUS

CN Urea, N'-(diphenylmethyl)-N-methyl-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

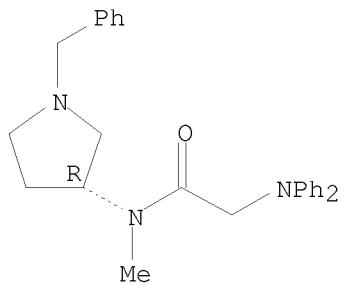
Absolute stereochemistry.



RN 861104-87-2 CAPLUS

CN Acetamide, 2-(diphenylamino)-N-methyl-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

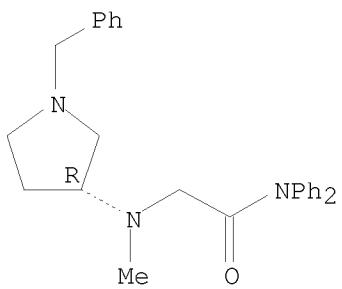
Absolute stereochemistry.



RN 861104-89-4 CAPLUS

CN Acetamide, 2-[methyl(3R)-1-(phenylmethyl)-3-pyrrolidinyl]amino-N,N-diphenyl- (CA INDEX NAME)

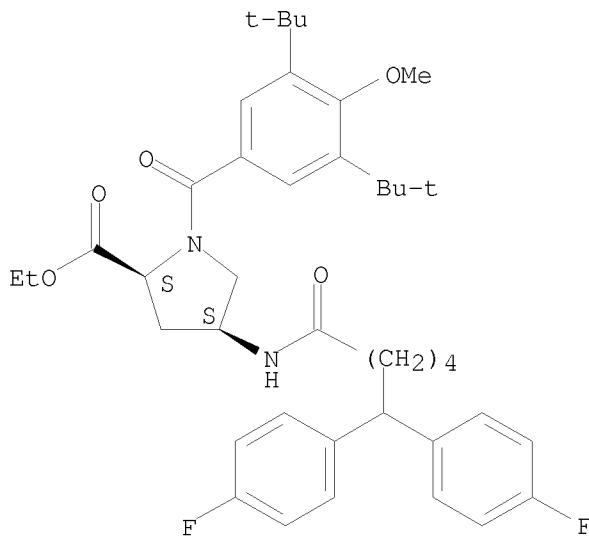
Absolute stereochemistry.



RN 861104-91-8 CAPLUS

CN L-Proline, 1-[3,5-bis(1,1-dimethylethyl)-4-methoxybenzoyl]-4-[[6,6-bis(4-fluorophenyl)-1-oxohexyl]amino]-, ethyl ester, (4S)- (CA INDEX NAME)

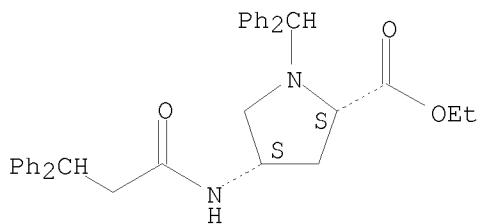
Absolute stereochemistry.



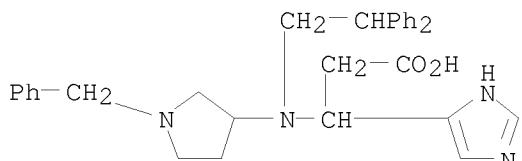
RN 861104-93-0 CAPLUS

CN L-Proline, 1-(diphenylmethyl)-4-[(1-oxo-3,3-diphenylpropyl)amino]-, ethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:199497 CAPLUS
DOCUMENT NUMBER: 142:430196
TITLE: Novel β -(imidazol-4-yl)- β -amino acids:
solid-phase synthesis and study of their inhibitory
activity against geranylgeranyl protein transferase
type I
AUTHOR(S): Saha, Ashis K.; End, David W.
CORPORATE SOURCE: Janssen Research Foundation, Spring House, PA, 19477,
USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),
15(6), 1713-1719
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:430196
AB Solid-phase synthesis of imidazolyl- β -amino acid derivs. is
described. Several analogs demonstrated moderate inhibition of
geranylgeranyl protein transferase type I (GGPT I).
IT 850883-74-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(solid-phase synthesis and inhibitory activity against geranylgeranyl
protein transferase type I of β -(imidazol-4-yl)- β -amino
acids)
RN 850883-74-8 CAPLUS
CN 1H-Imidazole-5-propanoic acid, β -[(2,2-diphenylethyl)[1-
(phenylmethyl)-3-pyrrolidinyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:150038 CAPLUS
DOCUMENT NUMBER: 142:403437
TITLE: Properties and structure-activity studies of cyclic
 β -hairpin peptidomimetics based on the cationic
antimicrobial peptide protegrin I
AUTHOR(S): Robinson, John A.; Shankaramma, Sasalu C.; Jetter,
Peter; Kienzl, Ursula; Schwendener, Reto A.;
Vrijbloed, Jan W.; Obrecht, Daniel
CORPORATE SOURCE: Institute of Organic Chemistry, University of Zurich,
Zurich, 8057, Switz.
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6),
2055-2064
PUBLISHER: Elsevier Ltd.

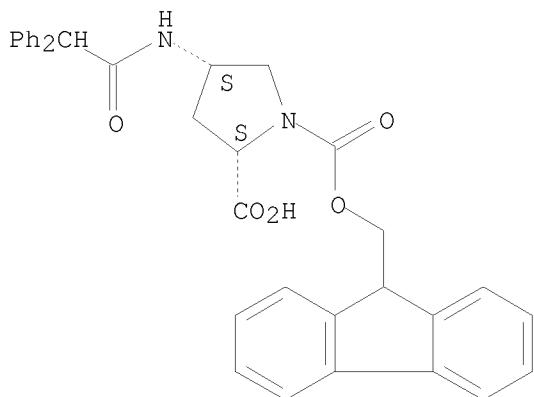
DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 142:403437
 AB The properties and structure-activity relationships (SAR) of a macrocyclic analog of porcine protegrin I (PG-I) have been investigated. The lead compound, having the sequence cyclo(Leu-Arg-Leu-Lys-Lys-Arg-Arg-Trp-Lys-Tyr-Arg-Val-D-Pro-Pro), shows antimicrobial activity against Gram-pos. and -neg. bacteria, but a much lower hemolytic activity and a much reduced ability to induce dye release from phosphatidylcholine/phosphatidylglycerol liposomes, when compared to PG-I. The enantiomeric form of the lead peptide shows comparable antimicrobial activity, a property shared with other cationic antimicrobial peptides acting on cell membranes. SAR studies involving the synthesis and biol. profiling of over 100 single site substituted analogs, showed that the antimicrobial activity was tolerant to a large number of the substitutions tested. Some analogs showed slightly improved antimicrobial activities (2-4-fold lowering of MICs), whereas other substitutions caused large increases in hemolytic activity on human red blood cells.

IT 458546-92-4P
 RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
 (properties and structure-activity studies of cyclic β -hairpin peptidomimetics based on cationic antimicrobial peptide protegrin I)

RN 458546-92-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-[(diphenylacetyl)amino]-, 1-(9H-fluoren-9-ylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

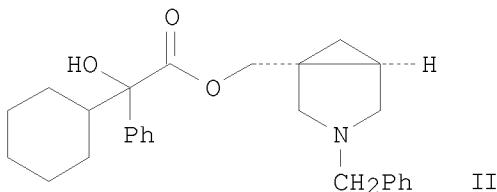
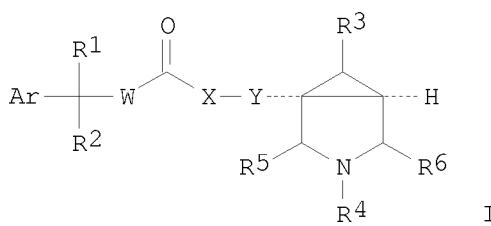


REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:878286 CAPLUS
 DOCUMENT NUMBER: 141:366133
 TITLE: Preparation of substituted azabicyclo hexane derivatives as muscarinic receptor antagonists
 INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt Viswanatham
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India; Gupta, Jang Bahadur

SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089363	A1	20041021	WO 2003-IB1333	20030410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521788	A1	20041021	CA 2003-2521788	20030410
AU 2003214535	A1	20041101	AU 2003-214535	20030410
EP 1615634	A1	20060118	EP 2003-710114	20030410
EP 1615634	B1	20070516		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003018242	A	20060404	BR 2003-18242	20030410
JP 2006514978	T	20060518	JP 2004-570503	20030410
CN 1794984	A	20060628	CN 2003-826537	20030410
AT 362364	T	20070615	AT 2003-710114	20030410
IN 2005DN05100	A	20071207	IN 2005-DN5100	20051108
US 20070287732	A1	20071213	US 2007-552617	20070316
PRIORITY APPLN. INFO.:			WO 2003-IB1333	W 20030410
OTHER SOURCE(S):	CASREACT 141:366133; MARPAT 141:366133			
GI				



AB This invention generally relates to preparation of derivs. of substituted

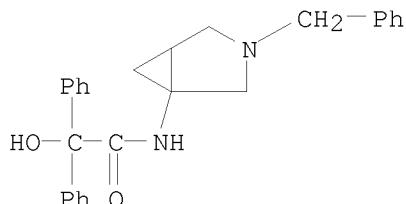
azabicyclo hexanes of formula I [Ar = (un)substituted-aryl or -heteroaryl ring; R1 = H, OH, HOCH₂, amino, alkoxy, carbamoyl or halo; R2 = H, alkyl, cycloalkyl, cycloalkenyl, (un)substituted-aryl or -heteroaryl ring; W = (CH₂)_p, where p = 0-1; X = O, S, bond, NH, or alkylamine; Y = (CH₂)_q, where q = 0-1; R3-5 independently = H, alkyl, CO₂H, CONH₂, NH₂, CH₂NH₂; R₄ = H, (un)substituted, (un)saturated-aliphatic hydrocarbon], and their pharmaceutically acceptable salts, with ability to function as muscarinic receptor antagonists. Thus, e.g., II was prepared by reaction of 2-cyclohexyl-2-hydroxy-2-phenylacetic acid with 3-benzyl-1-methanesulfonylmethyl-5-azabicyclo[3.1.0]hexane (preparation given). In receptor binding assays, I possessed pKi's ranging from 4.8-9.16 for M2- and 5.1-8.74 for M3-muscarinic receptor subtypes. I, as muscarinic receptor antagonists, can be used for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to a process for the preparation of the compds. of the present invention, pharmaceutical compns. containing the compds. of the present invention and the methods of treating the diseases mediated through muscarinic receptors.

IT 777890-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azabicyclohexane derivs. as muscarinic receptor antagonists useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems)

RN 777890-69-4 CAPLUS

CN Benzeneacetamide, α -hydroxy- α -phenyl-N-[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-1-yl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:546475 CAPLUS

DOCUMENT NUMBER: 141:106362

TITLE: Preparation of 1-substituted-3-pyrrolidine derivatives as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur; Sarma, Pakala Kumara Savithru

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

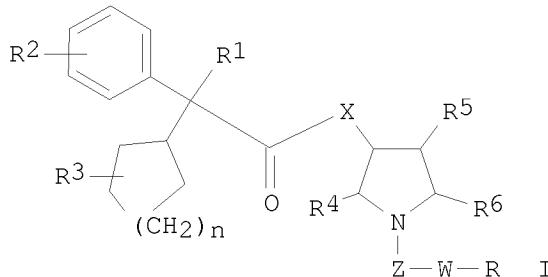
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056767	A1	20040708	WO 2002-IB5590	20021223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002347552	A1	20040714	AU 2002-347552	20021223
EP 1583741	A1	20051012	EP 2002-783480	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
IN 2005DN03262	A	20071130	IN 2005-DN3262	20050722
US 20060194862	A1	20060831	US 2006-540245	20060207
PRIORITY APPLN. INFO.:			WO 2002-IB5590	A 20021223
OTHER SOURCE(S):			CASREACT 141:106362; MARPAT 141:106362	
GI				



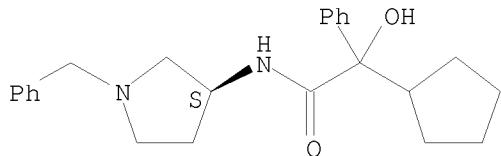
AB Title muscarinic receptor antagonists I ($X = O, NH$, etc.; $R1 = OH$, etc.; $R2 = H$, halo, alkyl; $R3 = H, OH$, etc.; $R4, R5, R6 = H, alkyl$; $Z = CH2, SO2$, carbonyl; $W = alkylene$, etc.; $R = alkyl, aryl$, etc.), useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors, are prepared. The affinity of these compds. for M2 and M3 muscarinic receptor subtype was tested. For example, (3S)-1-benzylpyrrolidin-3-yl cyclopentyl(hydroxy)phenylacetate was prepared and had $pKi = 6.13/7.17$ for the M2 and M3 receptor subtype resp.

IT 719278-59-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 1-substituted-3-pyrrolidine derivs. as muscarinic receptor antagonists)

RN 719278-59-8 CAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:696005 CAPLUS
 DOCUMENT NUMBER: 137:232914
 TITLE: Template-fixed peptidomimetics with antimicrobial activity
 INVENTOR(S): Obrecht, Daniel; Robinson, John Anthony; Vrijbloed, Jan Wim
 PATENT ASSIGNEE(S): Polyphor Ltd., Switz.; Universitaet Zuerich
 SOURCE: PCT Int. Appl., 262 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070547	A1	20020912	WO 2002-EP1711	20020218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439178	A1	20020912	CA 2002-2439178	20020218
AU 2002247724	A1	20020919	AU 2002-247724	20020218
AU 2002247724	B2	20080207		
EP 1363934	A1	20031126	EP 2002-716787	20020218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007502	A	20040309	BR 2002-7502	20020218
CN 1498225	A	20040519	CN 2002-805453	20020218
JP 2004534738	T	20041118	JP 2002-569866	20020218
US 20040171066	A1	20040902	US 2004-469060	20040205
US 7253146	B2	20070807		
HK 1064391	A1	20061201	HK 2004-107145	20040917
PRIORITY APPLN. INFO.:			WO 2001-EP2072	W 20010223
			WO 2002-EP1711	W 20020218
OTHER SOURCE(S):	MARPAT	137:232914		

AB Template-fixed β -hairpin peptidomimetics having sequences of the type $-N-Z-CO-$, where Z is a chain of 8 to 16 α -amino acid residues, and their salts inhibit the growth or kill microorganisms and cancer cells. They can be used as disinfectants for foodstuffs, cosmetics, medicaments or other nutrient-containing materials or as medicaments to treat or prevent infections or diseases related to such infections and/or cancer. These β -hairpin peptidomimetics can be manufactured by a process which is based on a mixed solid- and solution phase synthetic strategy. Thus, a peptide having the sequence Arg-Leu-Tyr-Arg-D-Pro-Pro-Arg-Tyr-Tyr-Arg-Arg, in which the template is D-Pro-Pro, was synthesized by the solid-phase method and assayed for antimicrobial activity (MIC = 25 μ g/mL at a concentration of 100 μ g/mL in the case of *Escherichia coli*).

IT 458546-92-4P 458547-11-0P

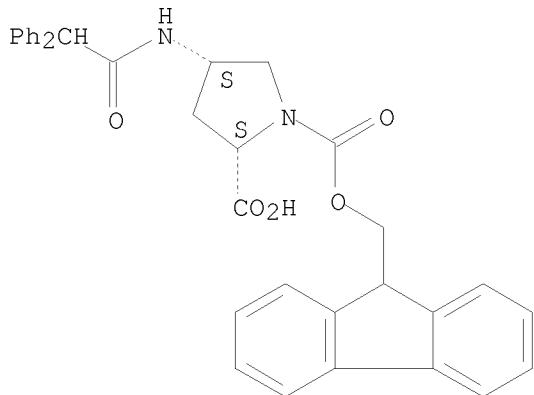
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(template-fixed peptidomimetics with antimicrobial activity)

RN 458546-92-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-[(diphenylacetyl)amino]-, 1-(9H-fluoren-9-ylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

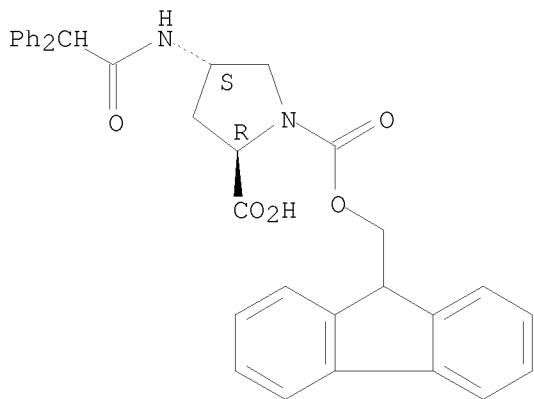
Absolute stereochemistry.



RN 458547-11-0 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 4-[(diphenylacetyl)amino]-, 1-(9H-fluoren-9-ylmethyl) ester, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:115088 CAPLUS
DOCUMENT NUMBER: 134:178141
TITLE: Preparation of oxoazacycloalkanes and analogs
INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph
M.; Labaudiniere, Richard F.; Mason, Helen J.;
Morrissette, Mathew M.; Ma, Liang; Cherrier,
Marie-Pierre
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010799	A1	20010215	WO 2000-US21257	20000803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6492553	B1	20021210	US 1999-368213	19990804
EP 1212269	A1	20020612	EP 2000-955355	20000803
EP 1212269	B1	20041027		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506420	T	20030218	JP 2001-515272	20000803
AT 280744	T	20041115	AT 2000-955355	20000803
ES 2230143	T3	20050501	ES 2000-955355	20000803
HK 1046897	A1	20050415	HK 2002-108269	20021115

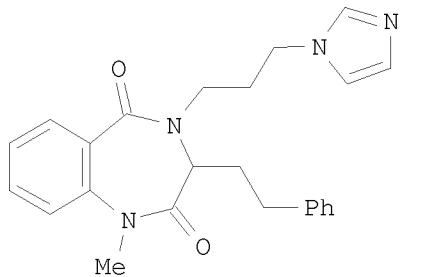
PRIORITY APPLN. INFO.:

US 1999-368213	A 19990804
US 1998-73007P	P 19980129
US 1998-98404P	P 19980831
US 1998-98708P	P 19980901
US 1998-101056P	P 19980918
WO 1999-US1923	A2 19990129
WO 2000-US21257	W 20000803

OTHER SOURCE(S):

CASREACT 134:178141; MARPAT 134:178141

GI



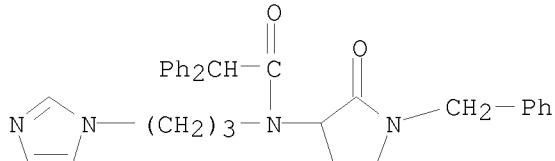
AB The title process comprises, e.g., Ugi condensation of N-protected anthranilic acids, amines, aldehydes, and an isocyanide followed by deprotection and cyclization. Thus, 2-(BocMeN)C6H4CO2H, imidazole-1-propanamine, PhCH2CH2CHO, and an isocyanide were combined to give title compound I.

IT 234781-55-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxoazacycloalkanes and analogs)

RN 234781-55-6 CAPLUS

CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-3-pyrrolidinyl]- α -phenyl- (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314672 CAPLUS

DOCUMENT NUMBER: 132:334358

TITLE: Preparation of pyrrolidine compounds as antagonists of serotonin 2 receptor

INVENTOR(S): Kuroita, Takanobu; Fujio, Masakazu; Nakagawa, Haruto

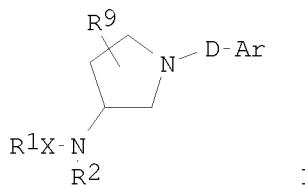
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 20000026186	A1	20000511	WO 1999-JP6002	19991028
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2348879	A1	20000511	CA 1999-2348879	19991028
AU 9963673	A	20000522	AU 1999-63673	19991028
EP 1125922	A1	20010822	EP 1999-951139	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6468998	B1	20021022	US 2001-830718	20010501
PRIORITY APPLN. INFO.:			JP 1998-311868	A 19981102
			WO 1999-JP6002	W 19991028

OTHER SOURCE(S): MARPAT 132:334358
 GI



AB Described are pyrrolidine compds. represented by general formula [I; R1 = Q-Q5, etc. a proviso is given; R9 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl; X = CO, CS, NHCO, SO, SO2; R2 = H, alkyl, acyl, (un)substituted arylalkyl, (un)substituted aromatic ring, heterocyclic ring containing at least one atom selected from O, N, and S; D = C1-6 (un)substituted alkyl, alkenyl, etc], optically active isomers thereof or pharmaceutically acceptable salts of the same; and medicinal compns. containing the compds. of general formula I, optically active isomers thereof or pharmaceutically acceptable salts of the same together with pharmaceutically acceptable additives. These compds. have an antagonism to serotonin 2 receptor, a platelet aggregation inhibitory effect, a peripheral circulation improving effect and a lacrimal secretion promoting effect, which makes them useful as drugs for thromboembolism, dry eye, etc. Thus, 2-(4-fluorophenyl)ethyl p-toluenesulfonate and (S)-N-(pyrrolidin-3-yl)-1-adamantanecarboxamide were dissolved in DMF and stirred with K2CO3 at 70° for 5 h to give (S)-N-[1-[2-(4-fluorophenyl)ethyl]pyrrolidin-3-yl]-1-adamantanecarboxamide (II) which was converted into the HCl salt. II.HCl in vitro inhibited the binding of

3H-ketanserin to 5-HT2 receptor preparation from rat cerebral cortex synapse with IC50 of 0.18 nM vs. sarpogrelate. It in vitro showed IC50 of 1.9 μ g/mL for inhibiting the collagen-induced rabbit blood platelet aggregation vs. 260 and 1,378 for sarpogrelate and cilostazol, resp.

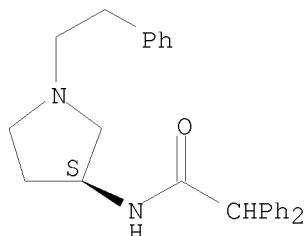
IT 267643-80-1P 267643-81-2P 267643-84-5P
267643-85-6P 267643-86-7P 267643-92-5P
267643-93-6P 267644-02-0P 267644-12-2P
267644-14-4P 267644-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine compds. as antagonists of serotonin 2 receptor for drugs)

RN 267643-80-1 CAPLUS

CN Benzeneacetamide, α -phenyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]-
(CA INDEX NAME)

Absolute stereochemistry.



RN 267643-81-2 CAPLUS

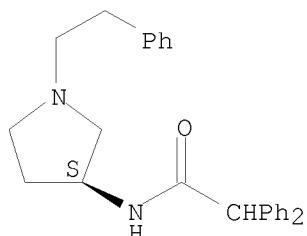
CN Benzeneacetamide, α -phenyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 267643-80-1

CMF C26 H28 N2 O

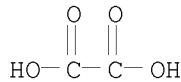
Absolute stereochemistry.



CM 2

CRN 144-62-7

CMF C2 H2 O4

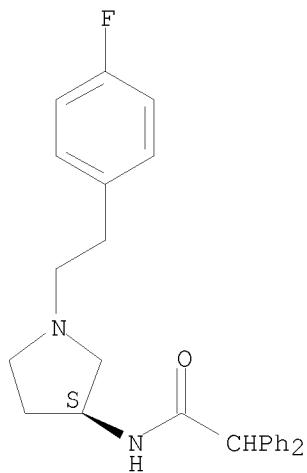


RN 267643-84-5 CAPLUS
CN Benzeneacetamide, N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- α -phenyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

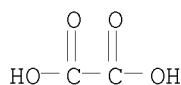
CRN 267643-83-4
CMF C26 H27 F N2 O

Absolute stereochemistry.



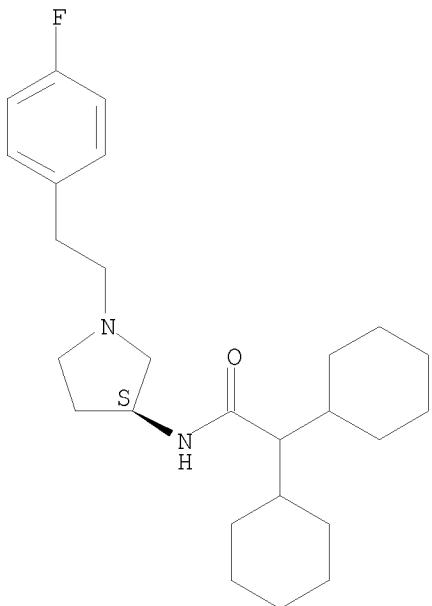
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 267643-85-6 CAPLUS
CN Cyclohexaneacetamide, α -cyclohexyl-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (CA INDEX NAME)

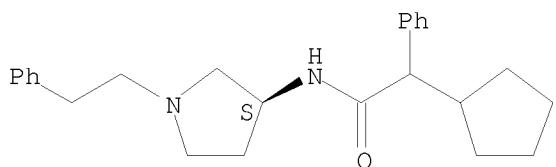
Absolute stereochemistry.



RN 267643-86-7 CAPLUS

CN Benzeneacetamide, α -cyclopentyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

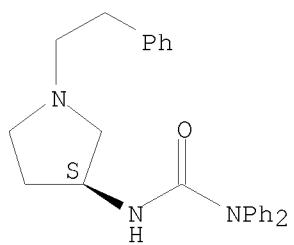
Absolute stereochemistry.



RN 267643-92-5 CAPLUS

CN Urea, N,N-diphenyl-N'-(3S)-1-(2-phenylethyl)-3-pyrrolidinyl- (CA INDEX NAME)

Absolute stereochemistry.

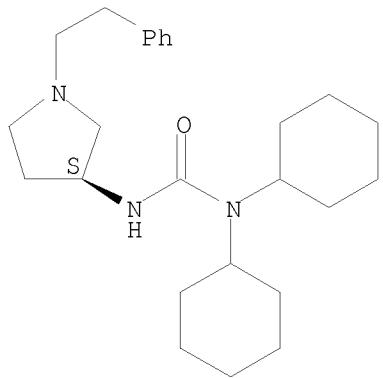


RN 267643-93-6 CAPLUS

CN Urea, N,N-dicyclohexyl-N'-(3S)-1-(2-phenylethyl)-3-pyrrolidinyl- (CA INDEX NAME)

INDEX NAME)

Absolute stereochemistry.

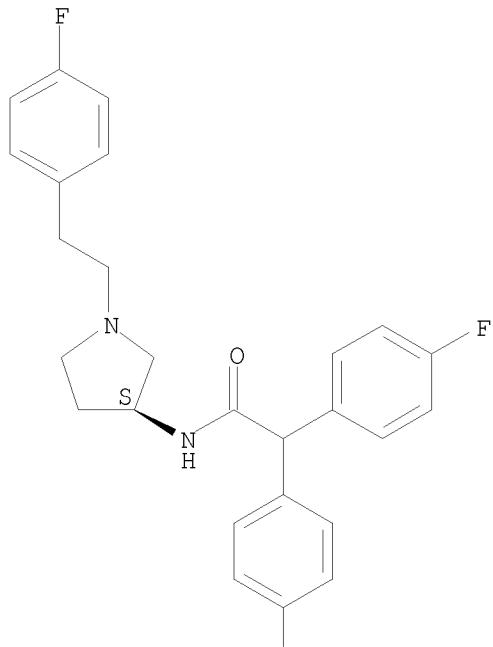


RN 267644-02-0 CAPLUS

CN Benzeneacetamide, 4-fluoro- α -(4-fluorophenyl)-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

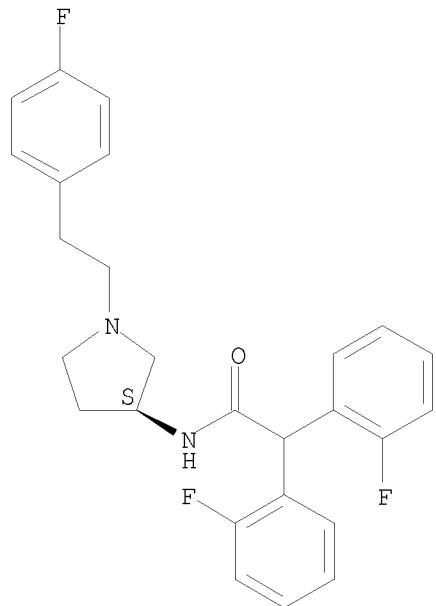


|
F

RN 267644-12-2 CAPLUS

CN Benzeneacetamide, 2-fluoro- α -(2-fluorophenyl)-N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- (CA INDEX NAME)

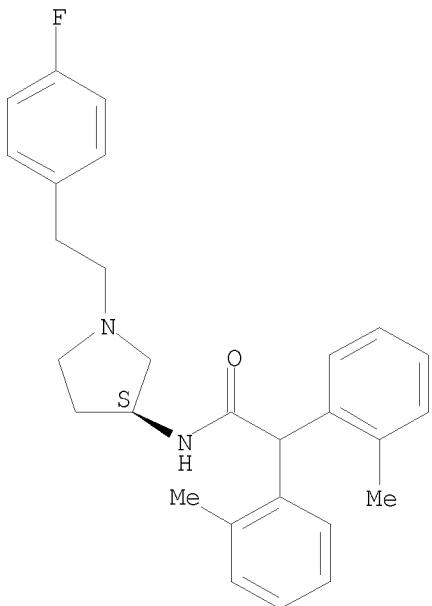
Absolute stereochemistry.



RN 267644-14-4 CAPLUS

CN Benzeneacetamide, N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]-2-methyl- α -(2-methylphenyl)- (CA INDEX NAME)

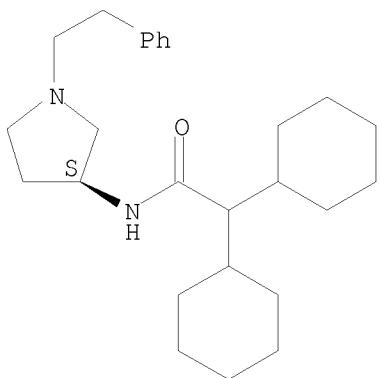
Absolute stereochemistry.



RN 267644-15-5 CAPLUS

CN Cyclohexaneacetamide, α -cyclohexyl-N-[(3S)-1-(2-phenylethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

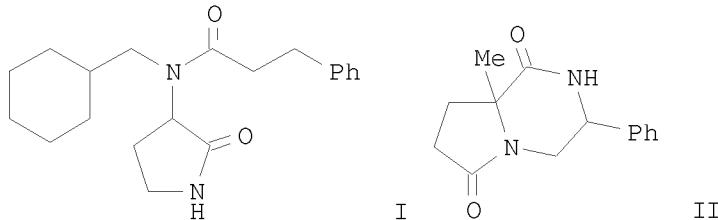
ACCESSION NUMBER: 2000:226851 CAPLUS

DOCUMENT NUMBER: 133:17439

TITLE: Novel applications of convertible isonitriles for the synthesis of mono and bicyclic γ -lactams via a UDC strategy

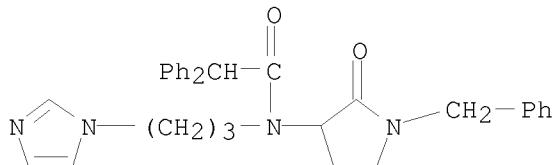
AUTHOR(S): Hulme, Christopher; Ma, Liang; Cherrier, Marie-Pierre; Romano, Joseph J.; Morton, George; Duquenne, Celine; Salvino, Joseph; Labaudiniere, Richard

CORPORATE SOURCE: New Leads Discovery, New Leads Discovery,
Rhone-Poulenc Rorer Central Research, Collegeville,
PA, 19426, USA
SOURCE: Tetrahedron Letters (2000), 41(12), 1883-1887
DOCUMENT TYPE: CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
LANGUAGE: English
GI



AB This communication reveals a novel application of the so-called convertible isonitriles for the solution/solid phase generation of γ -lactam analogs. Use of tethered N-BOC aldehydes, e.g., BocNHCH₂CH₂CHO, in the Ugi multi-component reaction (MCR), followed by BOC removal and base treatment (a "3-step, 1-pot procedure") affords γ -lactams, e.g., I, in good yield. The UDC (Ugi/De-BOC/Cyclize) strategy, coupled with a convertible isonitrile, is now feasible from all three substitution sites of the Ugi product. A conceptually novel approach, combining a bi-functional precursor with a post-condensation modification to give fused lactam-ketopiperazines, e.g., II, is also revealed.

IT 234781-55-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of γ -lactams from carboxylic acids and amines via UDC strategy using isonitriles)
RN 234781-55-6 CAPLUS
CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-3-pyrrolidinyl]- α -phenyl- (CA INDEX NAME)

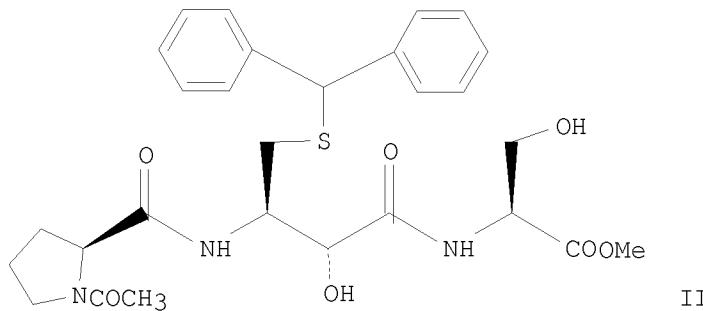


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:680120 CAPLUS
DOCUMENT NUMBER: 131:310838
TITLE: Preparation of peptides as HCV protease inhibitors

INVENTOR(S): Yamamoto, Osamu; Nakai, Eiichi; Shimizu, Yasuaki;
 Hara, Ryuichiro
 PATENT ASSIGNEE(S): Soyaku Gijutsu Kenkyusho K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11292840	A	19991026	JP 1998-93765	19980406
PRIORITY APPLN. INFO.:			JP 1998-93765	19980406
GI				



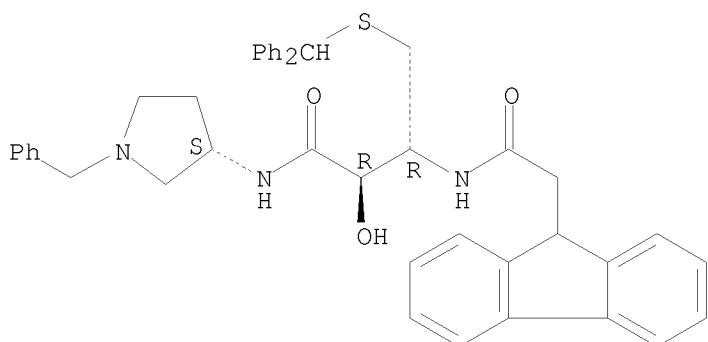
AB Title compds. RAN(X)CH(CH₂SR₁)CH(OH)COY [I; R = H, protection group of N; R₁ = H, protection group of S; A = amino acid amide; X = H, fragment of amino acid; Y = amino acid, amino acid ester: such as serine and valine] and pharmaceutical acceptable salts are prepared and tested as Hepatitis C virus (HCV) protease inhibitors in treatment of hepatitis C. Thus, the title compound II was prepared

IT 247266-95-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptides. as HCV protease inhibitors)

RN 247266-95-1 CAPLUS

CN 9H-Fluorene-9-acetamide, N-[(1R,2R)-1-[[(diphenylmethyl)thio]methyl]-2-hydroxy-3-oxo-3-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:512050 CAPLUS

DOCUMENT NUMBER: 131:286805

TITLE: Synthesis of novel proline and γ -lactam derivatives as non-peptide mimics of somatostatin / sandostatin

AUTHOR(S): Damour, Dominique; Herman, Frederic; Labaudiniere, Richard; Pantel, Guy; Vuilhorgne, Marc; Mignani, Serge

CORPORATE SOURCE: Rhone-Poulenc Rorer S.A. Centre de Recherche de Vitry-Alfortville, Vitry-sur-Seine, 94403, Fr.

SOURCE: Tetrahedron (1999), 55(33), 10135-10154

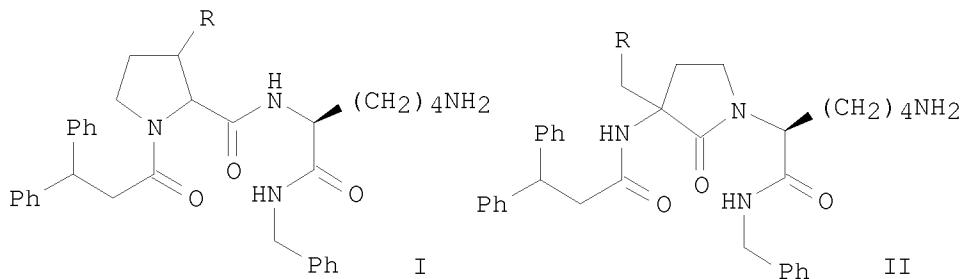
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB This paper reports the convenient synthesis of proline-based mimic I ($R = Ph$, 3-indolyl) and γ -lactam-based mimic II of sandostatin. In most cases, these compds. have been prepared as enantiomerically pure cis and trans-diastereoisomers.

IT 246870-33-7P 246870-36-0P 246870-41-7P
246870-42-8P

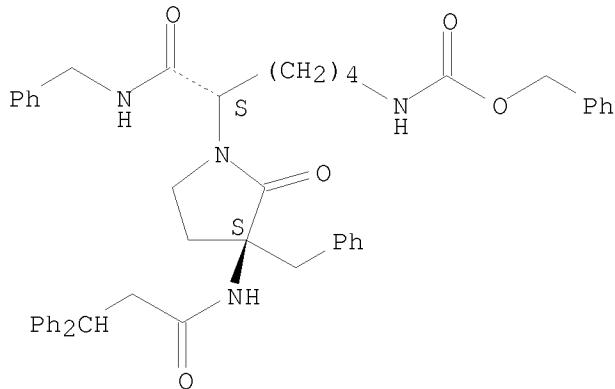
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of novel proline- and γ -lactam-based mimics of sandostatin/somatostatin)

RN 246870-33-7 CAPLUS

CN Carbamic acid, [(5S)-6-oxo-5-[(3S)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-3-(phenylmethyl)-1-pyrrolidinyl]-6-[(phenylmethyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

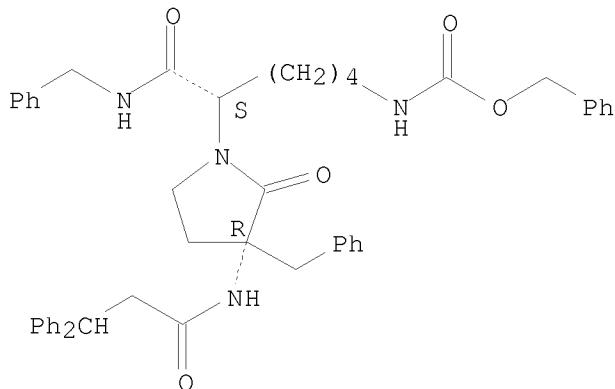
Absolute stereochemistry.



RN 246870-36-0 CAPLUS

CN Carbamic acid, [(5S)-6-oxo-5-[(3R)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-3-(phenylmethyl)-1-pyrrolidinyl]-6-[(phenylmethyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

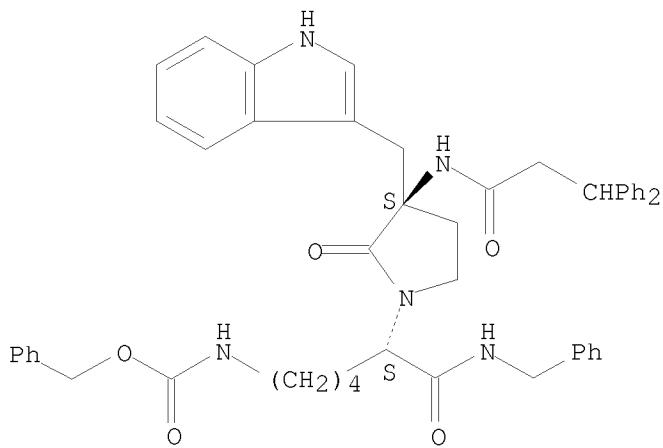
Absolute stereochemistry.



RN 246870-41-7 CAPLUS

CN Carbamic acid, [(5S)-5-[(3S)-3-(1H-indol-3-ylmethyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-1-pyrrolidinyl]-6-oxo-6-[(phenylmethyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

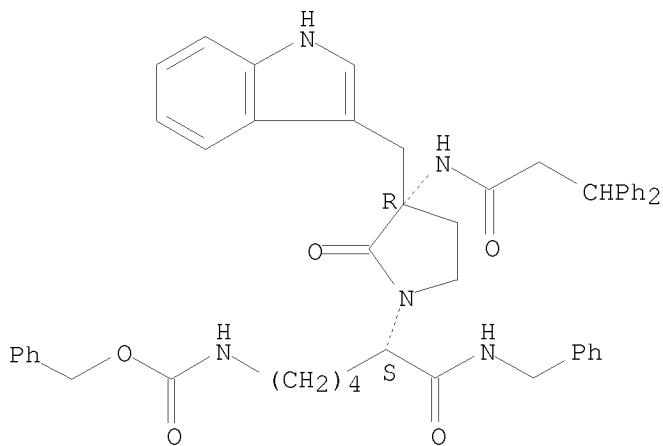
Absolute stereochemistry.



RN 246870-42-8 CAPLUS

CN Carbamic acid, [(5S)-5-[(3R)-3-(1H-indol-3-ylmethyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-1-pyrrolidinyl]-6-oxo-6-[(phenylmethyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 246870-37-1P 246870-38-2P 246870-43-9P

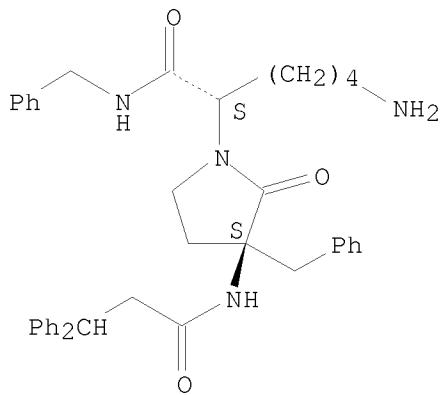
246870-44-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of novel proline- and γ -lactam-based mimics of sandostatin/somatostatin)

RN 246870-37-1 CAPLUS

CN 1-Pyrrolidineacetamide, α -(4-aminobutyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-N,3-bis(phenylmethyl)-, (α S,3S)- (CA INDEX NAME)

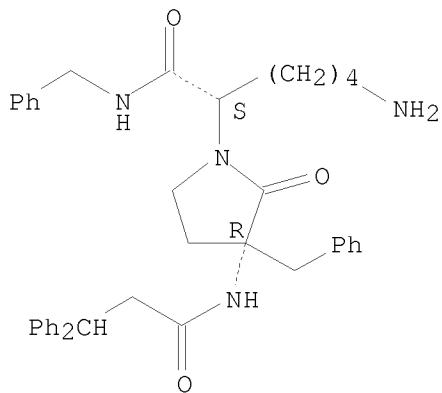
Absolute stereochemistry.



RN 246870-38-2 CAPLUS

CN 1-Pyrrolidineacetamide, α -(4-aminobutyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-N,3-bis(phenylmethyl)-, (α S,3R)- (CA INDEX NAME)

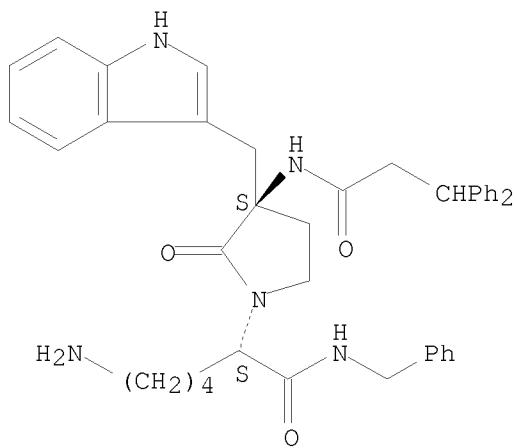
Absolute stereochemistry.



RN 246870-43-9 CAPLUS

CN 1-Pyrrolidineacetamide, α -(4-aminobutyl)-3-(1H-indol-3-ylmethyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-N-(phenylmethyl)-, monohydrochloride, (α S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

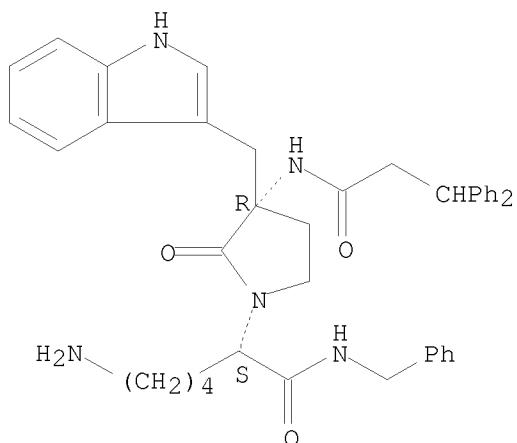


● HCl

RN 246870-44-0 CAPLUS

CN 1-Pyrrolidineacetamide, α -(4-aminobutyl)-3-(1H-indol-3-ylmethyl)-2-oxo-3-[(1-oxo-3,3-diphenylpropyl)amino]-N-(phenylmethyl)-, monohydrochloride, (α S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT:

37

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

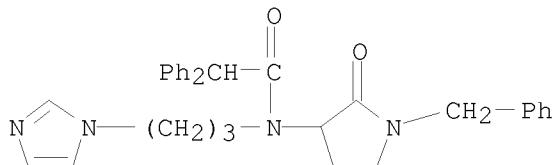
L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:495272 CAPLUS

DOCUMENT NUMBER: 131:130011
 TITLE: Preparation of N-acyl-2-aminoacetamides and cyclization products thereof.
 INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morissette, Matthew M.; Ma, Liang; Cherrier, Marie-Pierre
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 156 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938844	A1	19990805	WO 1999-US1923	19990129
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318601	A1	19990805	CA 1999-2318601	19990129
AU 9924821	A	19990816	AU 1999-24821	19990129
AU 747987	B2	20020530		
ZA 9900729	A	20000110	ZA 1999-729	19990129
EP 1051397	A1	20001115	EP 1999-904421	19990129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9908207	A	20001128	BR 1999-8207	19990129
JP 2002501944	T	20020122	JP 2000-530081	19990129
HU 2001001329	A2	20020328	HU 2001-1329	19990129
HU 2001001329	A3	20020729		
AP 1462	A	20050930	AP 2000-1864	19990129
W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
US 6492553	B1	20021210	US 1999-368213	19990804
NO 2000003792	A	20000927	NO 2000-3792	20000724
NO 324067	B1	20070806		
MX 2000PA07555	A	20010219	MX 2000-PA7555	20000801
BG 104724	A	20010330	BG 2000-104724	20000829
BG 65057	B1	20070131		
PRIORITY APPLN. INFO.:				
		US 1998-73007P	A2	19980129
		US 1998-98404P	A2	19980831
		US 1998-98708P	A2	19980901
		US 1998-101056P	A2	19980918
		WO 1999-US1923	W	19990129

OTHER SOURCE(S): MARPAT 131:130011
 AB RaRbNCRcaRcbRd Ra = RaaCO; Dd = CONHRda; Raa, Rb, Rca, Rcb = H, (substituted) aliphatic, aryl; Rda = (substituted) aliphatic, aryl; with provisos were prepared by reaction of RcaCORcb with RbNH₂, RaCO₂H, and NCRda. Title compds. may be prepared on a isocyanide resin and deprotected/cyclized to give 1,4-benzodiazepine-2,5-diones, diketopiperazines, ketopiperazines, lactams, 1,4-benzodiazepines, and

dihydroquinoxalinones.
 IT 234781-55-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of N-acyl-2-aminoacetamides and cyclization products thereof)
 RN 234781-55-6 CAPLUS
 CN Benzeneacetamide, N-[3-(1H-imidazol-1-yl)propyl]-N-[2-oxo-1-(phenylmethyl)-
 3-pyrrolidinyl]- α -phenyl- (CA INDEX NAME)



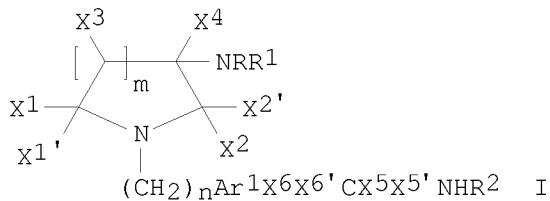
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:134849 CAPLUS
 DOCUMENT NUMBER: 126:157509
 TITLE: Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compounds as Factor Xa inhibitors
 INVENTOR(S): Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 272 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640679	A1	19961219	WO 1996-US9816	19960607
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5612353	A	19970318	US 1995-481024	19950607
CA 2223403	A1	19961219	CA 1996-2223403	19960607
CA 2223403	C	20020423		
AU 9661669	A	19961230	AU 1996-61669	19960607
AU 714319	B2	20000106		
EP 853618	A1	19980722	EP 1996-919298	19960607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI				
CN 1190395	A	19980812	CN 1996-194489	19960607
JP 11507368	T	19990629	JP 1996-502029	19960607

BR 9608405	A	19990824	BR 1996-8405	19960607
AP 799	A	20000119	AP 1997-1144	19960607
NO 9705762	A	19980206	NO 1997-5762	19971208
NO 310457	B1	20010709		
BG 63628	B1	20020731	BG 1998-102162	19980106
US 6034093	A	20000307	US 1998-130336	19980806
PRIORITY APPLN. INFO.:				
			US 1995-481024	A 19950607
			WO 1996-US9816	W 19960607
			US 1996-761414	A2 19961206
			US 1997-976034	A2 19971121
			WO 1997-US22414	A2 19971201

OTHER SOURCE(S): MARPAT 126:157509
GI



AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO₂, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO₂, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl₅, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

IT 186548-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compds. as Factor Xa inhibitors)

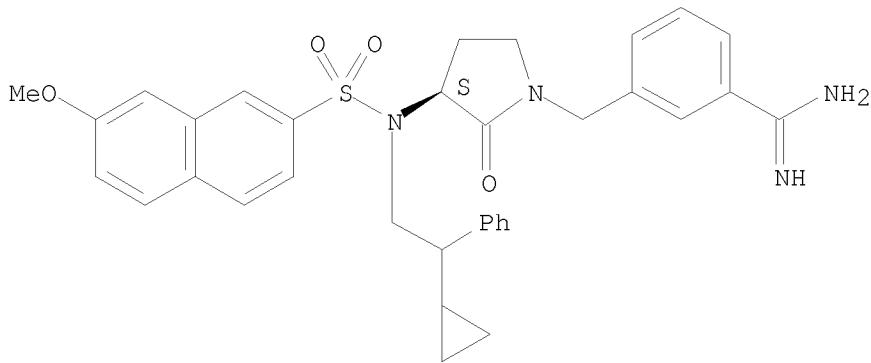
RN 186548-46-9 CAPLUS

CN Benzenecarboximidamide, 3-[(3-[(2-cyclopropyl-2-phenylethyl)[(7-methoxy-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl)methyl]-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 186548-45-8
CMF C34 H36 N4 O4 S

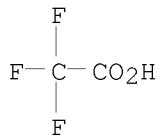
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



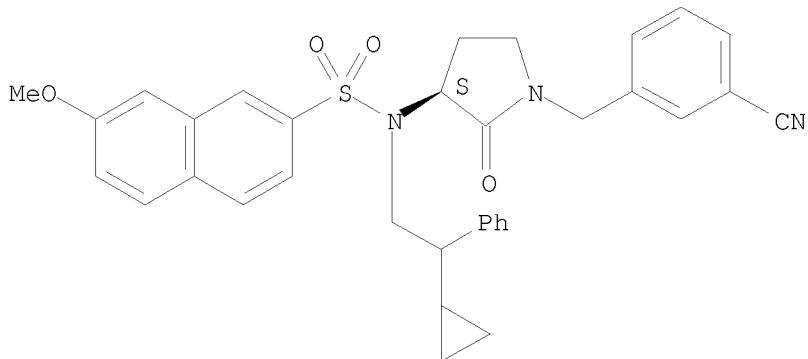
IT 186551-46-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclalamide compds. as Factor Xa inhibitors)

RN 186551-46-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-[1-[(3-cyanophenyl)methyl]-2-oxo-3-pyrrolidinyl]-N-(2-cyclopropyl-2-phenylethyl)-7-methoxy-, (3S)- (9CI) (CA INDEX NAME)

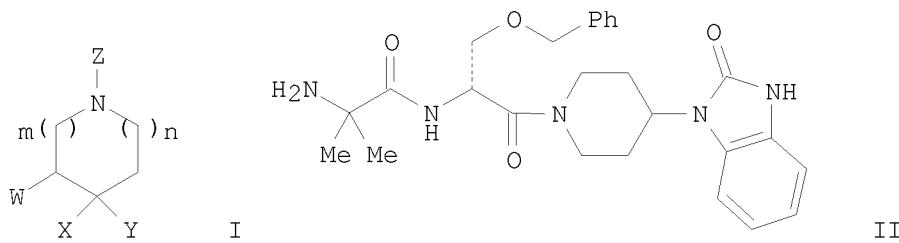
Absolute stereochemistry.



L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:94071 CAPLUS
 DOCUMENT NUMBER: 126:104431
 TITLE: Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
 INVENTOR(S): Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker, Bruce A.; Ragan, John A.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: PCT Int. Appl., 173 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638471	A1	19961205	WO 1995-IB410	19950529
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2220055	A1	19961205	CA 1995-2220055	19950529
CA 2220055	C	20010424		
EP 828754	A1	19980318	EP 1995-918123	19950529
EP 828754	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10510511	T	19981013	JP 1995-511175	19950529
JP 3133073	B2	20010205	JP 1996-511175	19950529
AT 288444	T	20050215	AT 1995-918123	19950529
ES 2235171	T3	20050701	ES 1995-918123	19950529
NO 9602162	A	19961202	NO 1996-2162	19960528
AU 9654554	A	19961212	AU 1996-54554	19960528
CN 1143647	A	19970226	CN 1996-107637	19960528
US 5936089	A	19990810	US 1997-973268	19971126
FI 9704368	A	19971128	FI 1997-4368	19971128
PRIORITY APPLN. INFO.:			WO 1995-IB333	A 19950508
			WO 1995-IB410	W 19950529

OTHER SOURCE(S): MARPAT 126:104431
 GI



AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion substituted with 0-3 R3a, R3b, or R12; Y = H, C1-6 alkyl, C4-10 cycloalkyl, aryl-K, phenyl-(C1-6alkyl)-K, thienyl-(C1-6 alkyl)-K substituted with 0-3 R3a, R3b, or R12; K = bond, O, S(O)m, NR2a; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, (un)substituted carbo- or heterobicyclic ring; R1 = (un)substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = (un)substituted C3-8 ring; R2 = H, C1-6 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, (un)substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = (un)substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, (un)substituted C1-6 alkyl; R8 = H, (un)substituted C1-6 alkyl; R9 = H, (un)substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis (no data). Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

IT 185056-17-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of growth hormone-releasing dipeptides)

RN 185056-17-1 CAPLUS

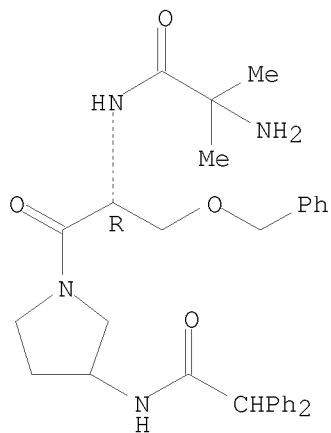
CN Benzeneacetamide, N-[1-[2-methylalanyl-O-(phenylmethyl)-D-seryl]-3-pyrrolidinyl]- α -phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 185056-16-0

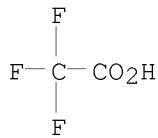
CMF C32 H38 N4 O4

Absolute stereochemistry.

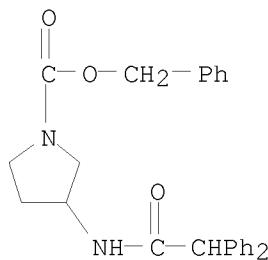


CM 2

CRN 76-05-1
CMF C2 H F3 O2

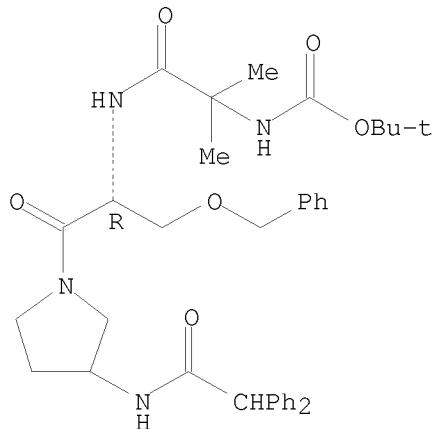


IT 185057-88-9P 185057-90-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of growth hormone-releasing dipeptides)
RN 185057-88-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[(diphenylacetyl)amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



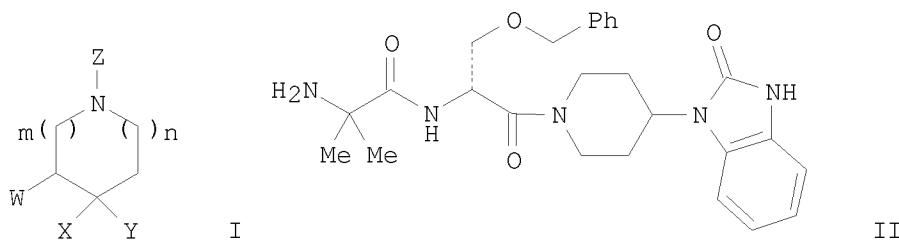
RN 185057-90-3 CAPLUS
CN Carbamic acid, [2-[[2-[(diphenylacetyl)amino]-1-pyrrolidinyl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:26293 CAPLUS
DOCUMENT NUMBER: 126:60362
TITLE: Preparation of heterocyclic dipeptide derivatives
which promote release of growth hormone
INVENTOR(S): Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker,
Bruce A.; Ragan, John A.
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9635713	A1	19961114	WO 1995-IB333	19950508
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9654554	A	19961212	AU 1996-54554	19960528
PRIORITY APPLN. INFO.:			WO 1995-IB333	A 19950508
			WO 1995-IB410	A 19950529
OTHER SOURCE(S):		MARPAT 126:60362		
GI				



AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion optionally substituted with 1-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C3-10 cycloalkyl, aryl optionally substituted with 1-3 R3a, R3b, or R12; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, optionally substituted carbobicyclic or heterobicyclic ring; R1 = optionally substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = optionally substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, optionally substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = optionally substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, optionally substituted C1-6 alkyl; R8 = H, optionally substituted C1-6 alkyl; R9 = H, optionally substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis. Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazoliny1)piperidine, followed by deprotection, coupling with BocNHMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

IT 185056-17-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and growth hormone releasing activity of heterocyclic dipeptide derivs.)

RN 185056-17-1 CAPLUS

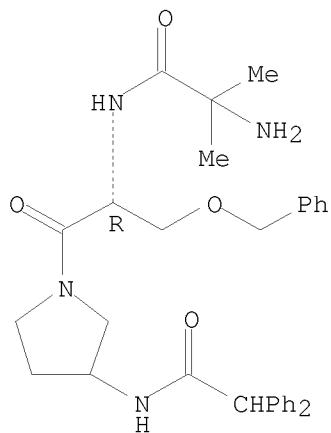
CN Benzeneacetamide, N-[1-[2-methylalanyl-0-(phenylmethyl)-D-seryl]-3-pyrrolidinyl]- α -phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 185056-16-0

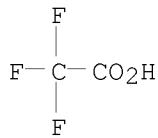
CMF C32 H38 N4 O4

Absolute stereochemistry.

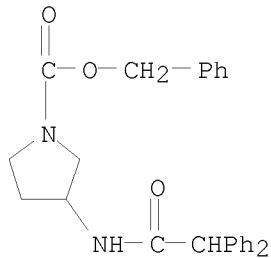


CM 2

CRN 76-05-1
CMF C2 H F3 O2

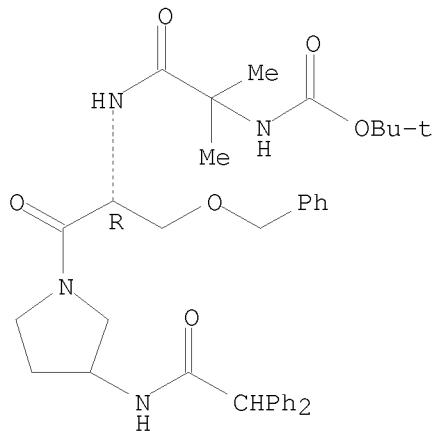


IT 185057-88-9P 185057-90-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and growth hormone releasing activity of heterocyclic dipeptide derivs.)
RN 185057-88-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[(diphenylacetyl)amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

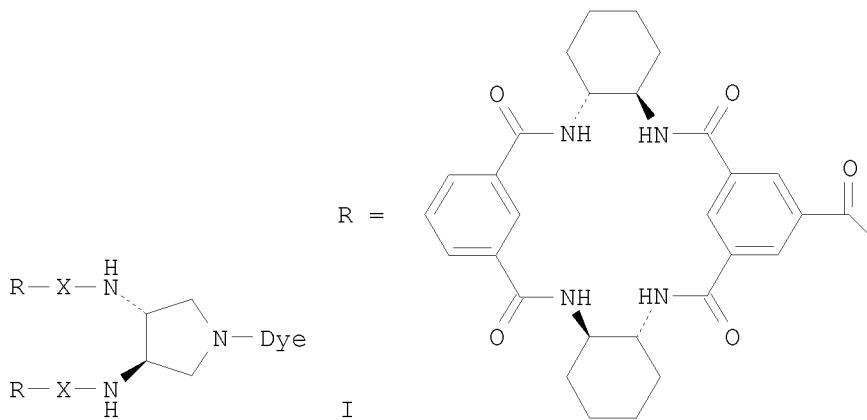


RN 185057-90-3 CAPLUS
CN Carbamic acid, [2-[[2-[(diphenylacetyl)amino]-1-pyrrolidinyl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:501342 CAPLUS
 DOCUMENT NUMBER: 125:196315
 TITLE: Sequence-Selective Receptors of Peptides. A Simple Molecular Design for Construction of Large Combinatorial Libraries of Receptors
 Shao, Yuefei; Still, W. Clark
 Department of Chemistry, Columbia University, New York, NY, 10027, USA
 SOURCE: Journal of Organic Chemistry (1996), 61(18), 6086-6087
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



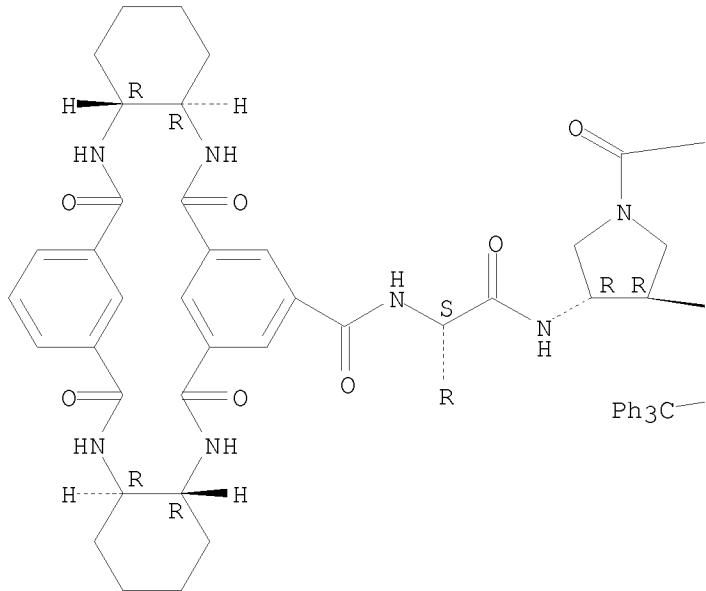
AB A series of synthetic receptor mols. having the general structure I [Dye =

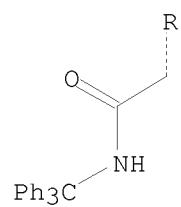
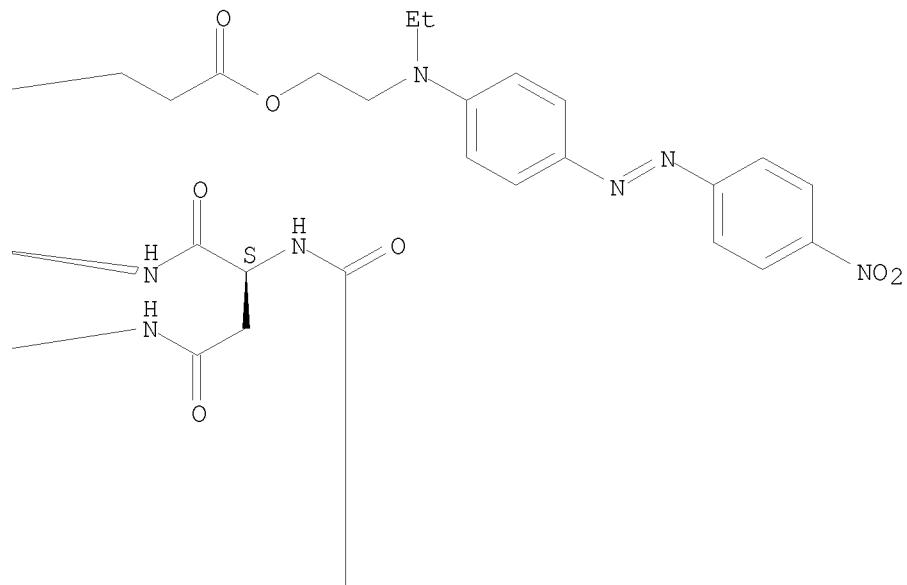
disperse red; X = D-Phe, L-Phe, D-Asn, L-Asn, D-Asn(CPh3), L-Asn(CPh3), D-Pro, L-Pro, D-Hyp, L-Hyp] were prepared and their binding properties for a wide range of peptides were determined. Receptors such as I have the useful feature that they may be prepared by combinatorial synthesis using five combinatorial steps and are thus readily available in high diversity. In this work it is shown that receptors I bind certain tripeptides sequence-selectively in organic solvents and that the particular sequences bound depend sensitively on the nature of X and its chirality. These findings indicate that receptor libraries based on I are likely to have highly selective binding properties for a wide range of specific peptidic substrates.

IT 180570-75-6P 180684-03-1P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (simple mol. design for construction of large combinatorial peptide receptor libraries)
 RN 180570-75-6 CAPLUS
 CN 1-Pyrrolidinebutanoic acid, 3,4-bis[[2-[[[(1,2,3,4,4a,5,6,12,13,13a,14,15,16,17,17a,18,19,25,26,26a-eicosahydro-6,12,19,25-tetraoxo-7,11:20,24-dimethenodibenzo[b,m][1,4,12,15]tetraazacyclodocosin-9-yl)carbonyl]amino]-1,4-dioxo-4-[(triphenylmethyl)amino]butyl]amino]- γ -oxo-, 2-[ethyl[4-[(4-nitrophenyl)azo]phenyl]amino]ethyl ester, [4aR-[4aR*,9[S*[3R*,4R*[S*(4aR*,13aR*,17aR*,26aR*)]]],13aR*,17aR*,26aR*]]-(9CI) (CA INDEX NAME)

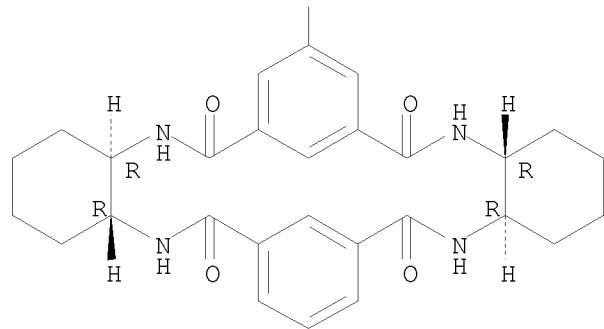
Absolute stereochemistry.
 Double bond geometry unknown.

PAGE 1-A





PAGE 2-B



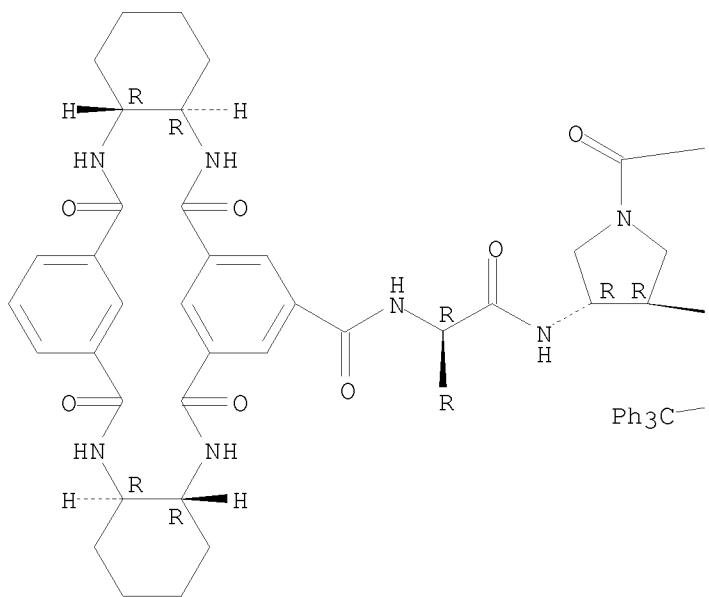
RN 180684-03-1 CAPLUS

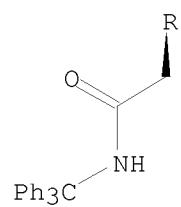
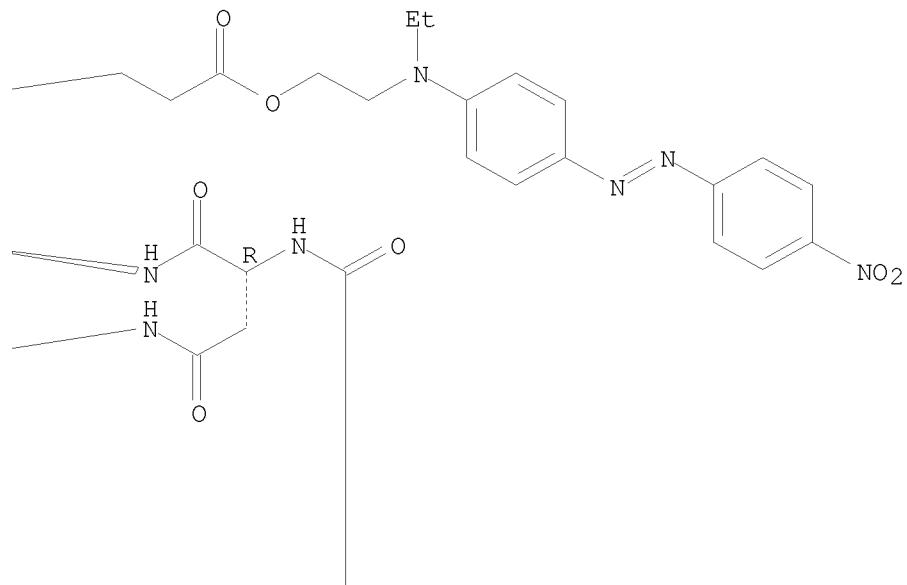
CN 1-Pyrrolidinebutanoic acid, 3,4-bis[[2-[[[(1,2,3,4,4a,5,6,12,13,13a,14,15,16,17,17a,18,19,25,26,26a-eicosahydro-6,12,19,25-tetraoxo-7,11:20,24-dimethenodibenzo[b,m][1,4,12,15]tetraazacyclodocosin-9-yl)carbonyl]amino]-1,4-dioxo-4-[(triphenylmethyl)amino]butyl]amino]-γ-oxo-, 2-[ethyl[4-[(4-nitrophenyl)azo]phenyl]amino]ethyl ester, [4aR-[4aR*,9[R*[3R*,4R*[R*(4aR*,13aR*,17aR*,26aR*)]]],13aR*,17aR*,26aR*]]-(9CI) (CA INDEX NAME)

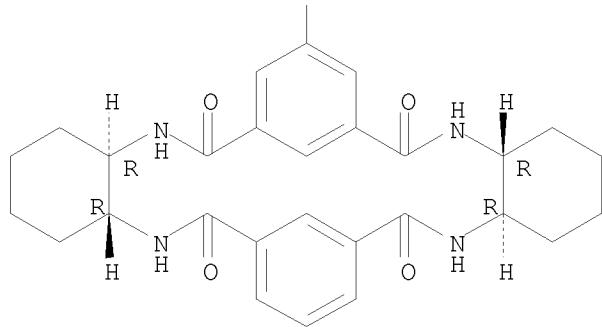
Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A







L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1969:68143 CAPLUS

DOCUMENT NUMBER: 70:68143

ORIGINAL REFERENCE NO.: 70:12733a,12736a

TITLE: 3-Ureidopyrrolidines

INVENTOR(S): Helsley, Grover C.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc.

SOURCE: U.S., 4 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3424762	A	19690128	US 1966-532125	19660307
GB 1172034	A	19691126	GB 1967-1172034	19670307
PRIORITY APPLN. INFO.:			US 1966-532125	A 19660307

GI For diagram(s), see printed CA Issue.

AB 1-(3-Pyrrolidinyl)-3-substituted ureas (I) are prepared which have analgetic, central nervous system, and psychopharmacologic activity. Thus, to 15 g. Na₂CO₃ in 100 ml. CHCl₃ was added 17.6 g. 3-amino-1-benzylpyrrolidine and 23.2 g. ClCONPh₂, the mixture stirred 24 hrs. at room temperature and worked up to yield 25 g. I (R = CH₂Ph, R₁ = H, R₂ =

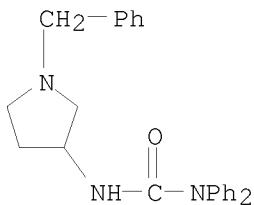
R₃ = Ph) (II), m. 90-2° (isoctane-C₆H₆). The following I were similarly prepared (R, R₁, R₂, R₃, m.p. base, and m.p. fumarate given). iso-Pr, H, Ph, Ph, -, 178-9° (iso-Pr₂O); Ph, H, Ph, Ph, 167-9° (C₆H₆-isoctane), -; Me, H, Ph, cyclopentyl, -, 117.5-19° (iso-Pr₂O). Hydrogenation of 18.6 g. II in 200 ml. 95% EtOH and 10 ml. 12N HCl over 10 g. 10% Pd on C at 60° afforded 9 g. I (R = R₁ = H, R₂ = R₃ = Ph), m. 208-9°.

IT 19985-26-3P

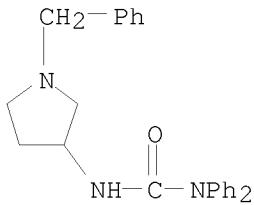
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 19985-26-3 CAPLUS

CN Urea, 3-(1-benzyl-3-pyrrolidinyl)-1,1-diphenyl- (8CI) (CA INDEX NAME)



L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1968:506397 CAPLUS
 DOCUMENT NUMBER: 69:106397
 ORIGINAL REFERENCE NO.: 69:19915a,19918a
 TITLE: Synthesis and biological activity of some 1-substituted 3-pyrrolidinylureas
 AUTHOR(S): Helsley, Grover C.; Franko, Bernard V.; Welstead, William J.; Lunsford, Carl D.
 CORPORATE SOURCE: Res. Lab., A. H. Robins Co., Inc., Richmond, VA, USA
 SOURCE: Journal of Medicinal Chemistry (1968), 11(5), 1034-7
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB A series of 1-substituted 3-pyrrolidinylureas (I) was synthesized and evaluated for pharmacol. activity. Some of the activities observed were central nervous system depressant, antiarrhythmic, local anesthetic, and hypoglycemic.
 IT 19985-26-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 19985-26-3 CAPLUS
 CN Urea, 3-(1-benzyl-3-pyrrolidinyl)-1,1-diphenyl- (8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
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CA SUBSCRIBER PRICE	-19.20	-19.20

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	131.28	309.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-19.20	-19.20

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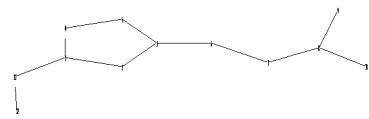
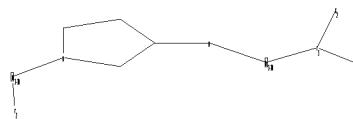
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chain nodes :

6 7 8 9 10 11 12

ring nodes :

1 2 3 4 5

chain bonds :

1-6 3-11 6-7 7-8 8-9 8-10 11-12

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 3-11 4-5 6-7 7-8 8-9 8-10 11-12

G1:C,N

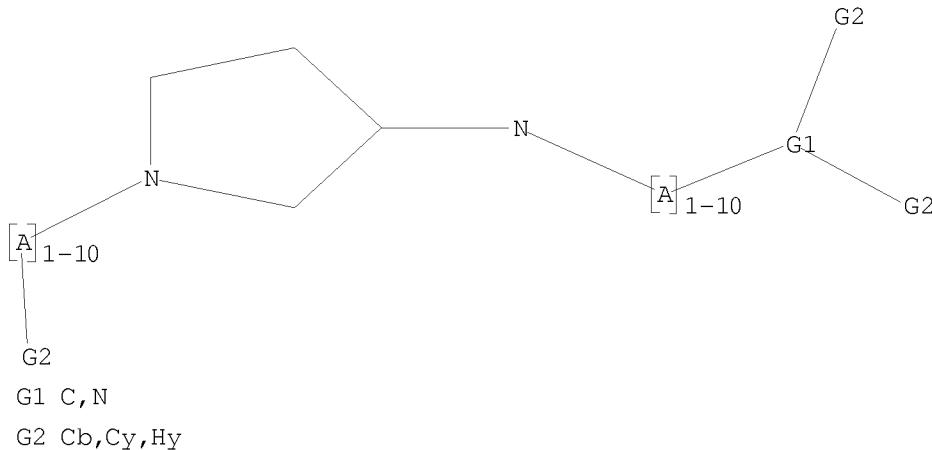
G2:Cb,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:CLASS 12:Atom

L5 STRUCTURE UPLOADED

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L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 14580 TO ITERATE

13.7% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 284367 TO 298833
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> 15 full
FULL SEARCH INITIATED 13:06:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 289339 TO ITERATE

100.0% PROCESSED 289339 ITERATIONS 106 ANSWERS
SEARCH TIME: 00.00.04

L7 106 SEA SSS FUL L5

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L8 16 L7 NOT L3

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FULL ESTIMATED COST	178.36	488.21
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-19.20

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L9 8 L8

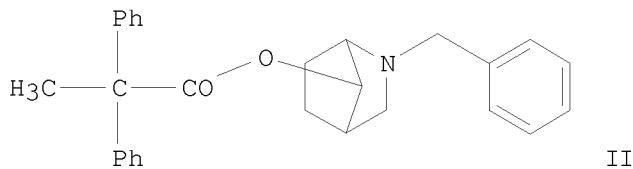
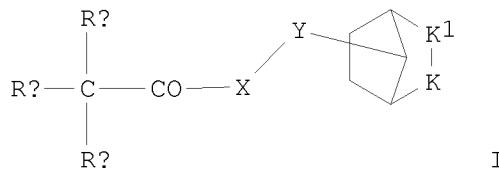
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L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1114104 CAPLUS
DOCUMENT NUMBER: 147:427240
TITLE: Preparation of azabicyclo[2.2.1]heptyl compounds as muscarinic receptor antagonists for treating respiratory, urinary, and gastrointestinal disorders
INVENTOR(S): Kumar, Naresh; Cliffe, Ian Anthony; Salman, Mohammad; Palle, Venkata P.; Kaur, Kirandeep; Shejul, Yogesh D.; Chugh, Anita; Gupta, Suman; Ray, Abhijit; Malhotra, Shivani; Shirumalla, Raj Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 63pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007110782 A1 20071004 WO 2007-IB50003 20070102
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2005-DE3522 A 20051230
 OTHER SOURCE(S): CASREACT 147:427240; MARPAT 147:427240
 GI



AB This present invention generally relates to muscarinic receptor antagonists of general formula I (wherein K is -CH₂ and K₁ is -NR₁ or K₁ is -CH₂ and K is -NR₁ (wherein R₁ is H, alkyl, aryl, etc.); Y is alkylene or a single bond; X is O, S or -NR₅ (wherein R₅ is H, alkyl, etc.); Ra is OH, alkoxy, alkyl or H; Rb and Rc are alkyl, alkenyl, alkynyl, etc.) which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed

compds., and the methods for treating diseases mediated through muscarinic receptors. Example compound II was prepared by reacting 2,2-diphenylpropanoic acid and 2-benzyl-7-bromo-2-azabicyclo[2.2.1]heptane. In radioligand binding assays, II had Ki values for rat M₂ and M₃ receptors in the range 2 - >500 nM.

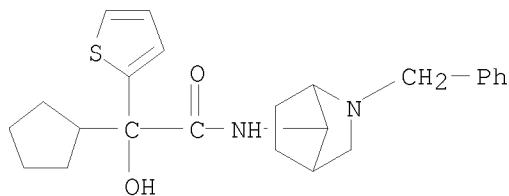
IT 951393-94-5P, N-(2-Benzyl-2-azabicyclo[2.2.1]hept-7-yl)-2-cyclopentyl-2-hydroxy-2-(2-thienyl)acetamide 951393-95-6P,
 N-(2-Benzyl-2-azabicyclo[2.2.1]hept-7-yl)-2-hydroxy-2-phenyl-2-(2-

thienyl)acetamide

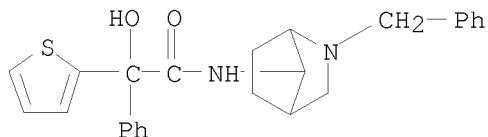
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azabicyclo[2.2.1]heptyl compds. as muscarinic receptor antagonists for treating respiratory, urinary, and gastrointestinal disorders)

RN 951393-94-5 CAPLUS

CN 2-Thiopheneacetamide, α -cyclopentyl- α -hydroxy-N-[2-(phenylmethyl)-2-azabicyclo[2.2.1]hept-7-yl]- (CA INDEX NAME)

RN 951393-95-6 CAPLUS

CN 2-Thiopheneacetamide, α -hydroxy- α -phenyl-N-[2-(phenylmethyl)-2-azabicyclo[2.2.1]hept-7-yl]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:708222 CAPLUS

DOCUMENT NUMBER: 145:145752

TITLE: Preparation of N-(N-heterocyclylcarbonylpyrrolidin-3-yl)urea urea derivatives having antiangiogenic activity

INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Sauer, Daryl R.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

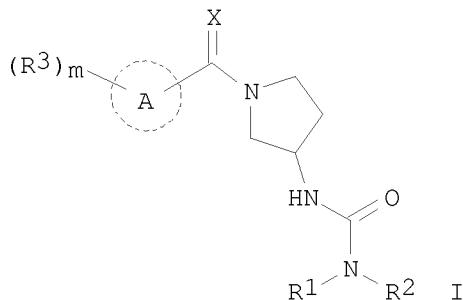
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060160806	A1	20060720	US 2004-961362	20041008
PRIORITY APPLN. INFO.:			US 2003-509949P	P 20031009
OTHER SOURCE(S):	MARPAT	145:145752		
GI				



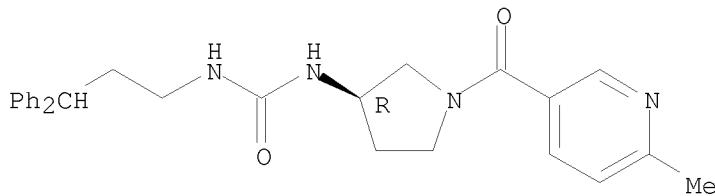
AB Compds. having the formula (I) or therapeutically acceptable salts thereof [A = pyridazinyl, pyridinyl, pyridine N-oxide, pyrimidinyl, indol-3-yl, pyrazol-4-yl, pyrazinyl, isoxazol-4-yl triazinyl; R1, R2 = H, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkynyl, aryl, arylalkyl, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, (NRARB)alkyl, (NRARB)carbonyl; or NR1R2 together forms an (un)substituted five- to seven-membered ring containing zero or one addnl. heteroatom selected; R3 = alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkyl, alkylcarbonyl, alkylsulfanyl, aryl, arylalkyl, aryloxy, cyano, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, halo, haloalkyl, heterocycle, hydroxy, hydroxyalkyl, nitro; X = O, S; m = 0-4; RA, RB = H, alkenyl, alkoxyalkyl, alkyl, alkynyl, alkylcarbonyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, and hydroxyalkyl] are prepared. These compds. are angiogenesis inhibitors and useful for treating conditions which arise from or are exacerbated by angiogenesis, e.g. cancer. Thus, a mixture of (3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-amine bis-trifluoroacetate (0.433 g, 1.0 mmol) and Et3N (0.418 mL, 3.0 mmol) in methylene chloride (5 mL) was treated with carbonyldimidazole (> (0.178 g, 1.1 mmol) and stirred for 5 h at room temperature, followed by adding pyrrolidine (3.0 mmol). The reaction mixture was stirred for addnl. 4 h to give, N-[(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]-1-pyrrolidinecarboxamide hydrochloride (II). II at 0.1 nM inhibited 98% human microvascular endothelial cell (HMVEC) migration.

IT 850212-49-6P, N-(3,3-Diphenylpropyl)-N'-(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (pyrrolidin-3-yl)urea ureas derivs. as angiogenesis inhibitors)

RN 850212-49-6 CAPLUS

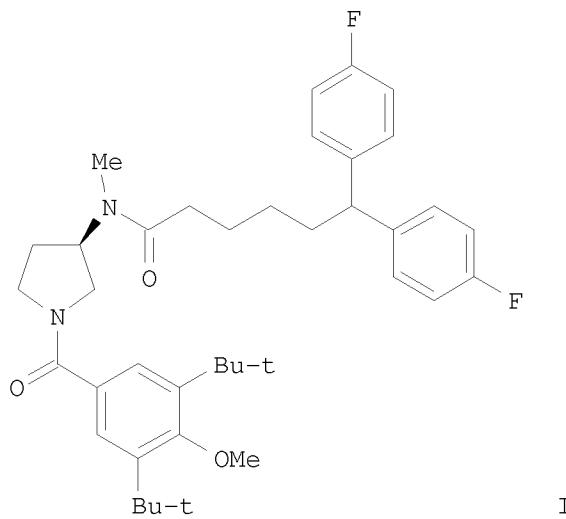
CN Urea, N-(3,3-diphenylpropyl)-N'-(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:672888 CAPLUS
 DOCUMENT NUMBER: 143:172750
 TITLE: Preparation of 3-aminopyrrolidine useful as N-type calcium channel blockers
 INVENTOR(S): Pajouhesh, Hassan; Pajouhesh, Hossein; Ding, Yanbing; Snutch, Terrance P.
 PATENT ASSIGNEE(S): Can.
 SOURCE: U.S. Pat. Appl. Publ., 41 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050165065	A1	20050728	US 2004-763974	20040122
AU 2005206226	A1	20050804	AU 2005-206226	20050121
CA 2553773	A1	20050804	CA 2005-2553773	20050121
WO 2005070919	A1	20050804	WO 2005-CA73	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1718633	A1	20061108	EP 2005-700289	20050121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1976920	A	20070606	CN 2005-80006161	20050121
BR 2005007054	A	20070612	BR 2005-7054	20050121
JP 2007518742	T	20070712	JP 2006-549809	20050121
IN 2006KN02111	A	20070518	IN 2006-KN2111	20060726
PRIORITY APPLN. INFO.:			US 2004-763974	A 20040122
			WO 2005-CA73	W 20050121
OTHER SOURCE(S): GI	CASREACT 143:172750; MARPAT 143:172750			



AB Title compds. I, II; X1 = N, CR3; W = L2A3, X1A1A2; L1, L2 = (substituted) alkylene, alkenylene optionally interrupted by N, O, S; A1, A2, A3 = (fused) (substituted) 6-7 membered (hetero)aliphatic, (hetero)aryl; R1, R2 = noninterfering substituent; R3 = H, noninterfering substituent; n = 0-3; [with a proviso], were prepared. The invention compds. generally contain ≥ 1 benzhydryl moiety, and are useful in treating conditions which benefit from blocking calcium ion channels. For instance, 3-aminopyrrolidine derivative III (IC50 at 0.067 Hz: 67 nM) was prepared via amidation of 6,6-bis-(4-fluorophenyl)hexanoic acid by (R)-(1-benzylpyrrolidin-3-yl)(methyl)amine, N-debenzylolation, and subsequent amidation of the obtained aminopyrrolidine derivative by 3,5-di-tert-butyl-4-methoxybenzoic acid.

IT 861104-43-0P 861104-44-1P 861104-45-2P
 861104-49-6P 861104-53-2P 861104-54-3P
 861104-73-6P 861104-74-7P 861104-75-8P

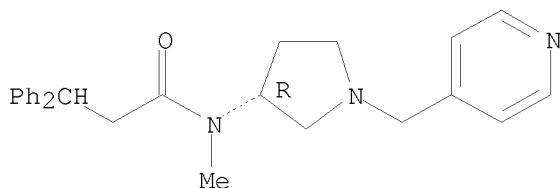
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-aminopyrrolidine derivs. useful as N-type calcium channel blockers)

RN 861104-43-0 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3R)-1-(4-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

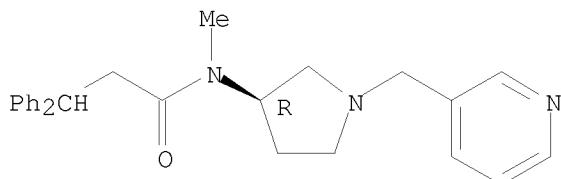
Absolute stereochemistry.



RN 861104-44-1 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3R)-1-(3-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

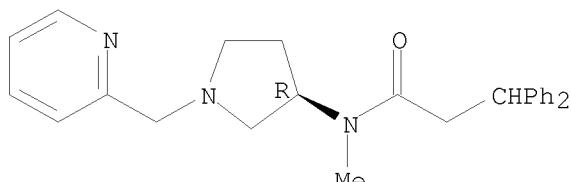
Absolute stereochemistry.



RN 861104-45-2 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3R)-1-(2-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

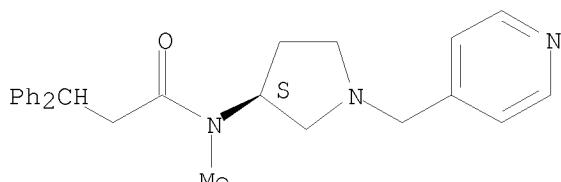
Absolute stereochemistry.



RN 861104-49-6 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3S)-1-(4-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

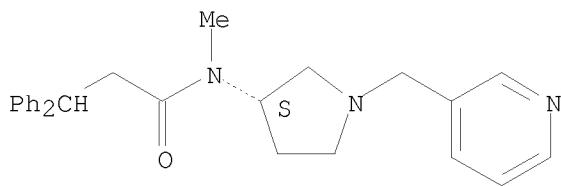
Absolute stereochemistry.



RN 861104-53-2 CAPLUS

CN Benzenepropanamide, N-methyl- β -phenyl-N-[(3S)-1-(3-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

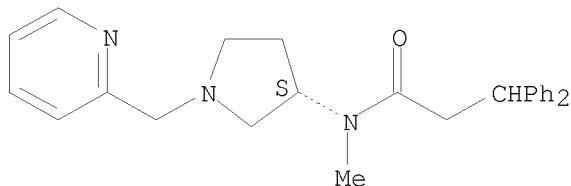
Absolute stereochemistry.



RN 861104-54-3 CAPLUS

CN Benzenepropanamide, N-methyl-β-phenyl-N-[(3S)-1-(2-pyridinylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)

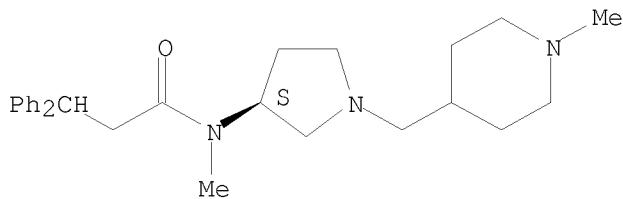
Absolute stereochemistry.



RN 861104-73-6 CAPLUS

CN Benzenepropanamide, N-methyl-N-[(3S)-1-[(1-methyl-4-piperidinyl)methyl]-3-pyrrolidinyl]-β-phenyl- (CA INDEX NAME)

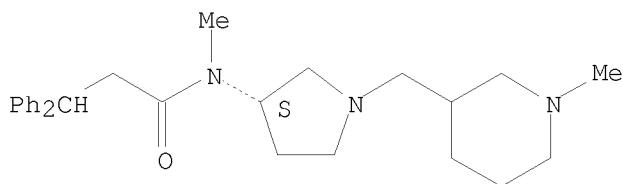
Absolute stereochemistry.



RN 861104-74-7 CAPLUS

CN Benzenepropanamide, N-methyl-N-[(3S)-1-[(1-methyl-3-piperidinyl)methyl]-3-pyrrolidinyl]-β-phenyl- (CA INDEX NAME)

Absolute stereochemistry.

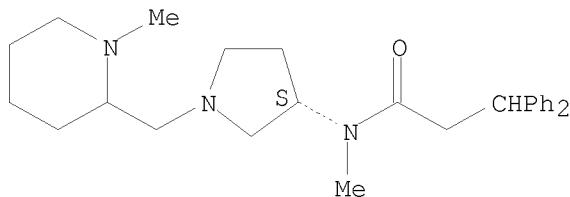


RN 861104-75-8 CAPLUS

CN Benzenepropanamide, N-methyl-N-[(3S)-1-[(1-methyl-2-piperidinyl)methyl]-3-

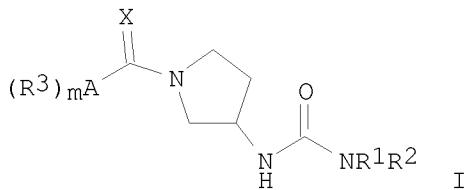
pyrrolidinyl]- β -phenyl- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:347008 CAPLUS
 DOCUMENT NUMBER: 142:411241
 TITLE: Preparation of pyridinylcarbonylpyrrolidinylureas and related compounds as angiogenesis inhibitors.
 INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Sauer, Daryl R.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035524	A1	20050421	WO 2004-US33169	20041008
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540868	A1	20050421	CA 2004-2540868	20041008
EP 1680415	A1	20060719	EP 2004-785388	20041008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-682497	A 20031009
			WO 2004-US33169	W 20041008
OTHER SOURCE(S):	CASREACT 142:411241; MARPAT 142:411241			
GI				



AB Title compds. [I; A = pyridazinyl, pyridinyl, pyrimidinyl, indol-3-yl, pyrazol-4-yl, pyrazinyl, isoxazol-4-yl, triazinyl; R1, R2 = H, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkynyl, aryl, aralkyl, cyanoalkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, aminoalkyl, aminocarbonyl; R1R2N = atoms to form a (substituted) 5-7 membered ring; R3 = alkenyl, alkoxy, alkoxyalkyl, alkyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfanyl, aryl, aralkyl, aryloxy, cyano, cyanoalkyl, cycloalkyl, heterocyclyl, OH, hydroxyalkyl, NO₂, etc.; X = O, S; m = 0-4], were prepared. Thus, (3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-amine bistrifluoroacetate and Et₃N in CH₂Cl₂ were treated with carbonyldiimidazole and after 5 h with benzylamine followed by stirring for an addnl. 4 h to give N-benzyl-N'-(3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-yl]urea. I inhibited human microvascular endothelial migration (HMVEC) by 48-99% at 0.1 nM.

IT 850212-49-6P

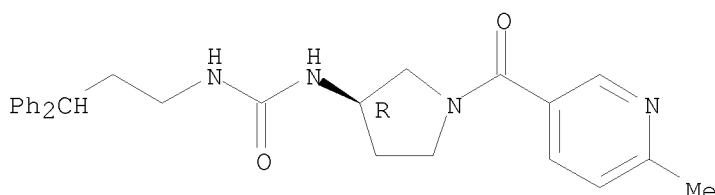
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyridinylcarbonylpvrrolidinylureas and related compds. as angiogenesis inhibitors)

RN 850212-49-6 CAPLUS

CN Urea, N-(3,3-diphenylpropyl)-N'-(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:791985 CAPLUS

DOCUMENT NUMBER: 141:342891

TITLE: Small molecule antagonists of the CCR2b receptor. Part 2: Discovery process and initial structure-activity relationships of diamine derivatives

AUTHOR(S): Moree, Wilna J.; Kataoka, Ken-ichihiro; Ramirez-Weinhause, Michele M.; Shiota, Tatsuki; Imai,

Minoru; Sudo, Masaki; Tsutsumi, Takaharu; Endo, Noriaki; Muroga, Yumiko; Hada, Takahiko; Tanaka, Hiroko; Morita, Takuya; Greene, Jonathan; Barnum, Doug; Saunders, John; Kato, Yoshinori; Myers, Peter L.; Tarby, Christine M.

CORPORATE SOURCE: Deltagen Research Laboratories, San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(21), 5413-5416

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:342891

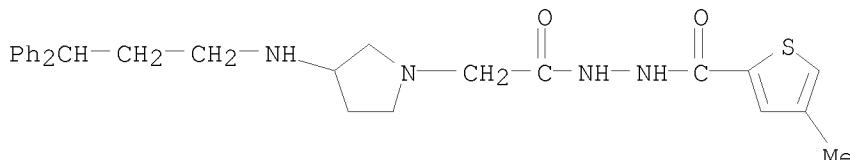
AB Structure-activity relationships (SAR) of a weakly active class of CCR2b inhibitors were utilized to initiate a lead evolution program employing the Drug Discovery Engine. Several alternative structural series have been discovered that display nanomolar activity in the CCR2b binding and CCR2b-mediated chemotaxis assays.

IT 774597-45-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(small mol. antagonists of CCR2b receptor: discovery process, preparation, and SAR of diamine derivs.)

RN 774597-45-4 CAPLUS

CN 1-Pyrrolidineacetic acid, 3-[(3,3-diphenylpropyl)amino]-, 2-[(4-methyl-2-thienyl)carbonyl]hydrazide (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:546475 CAPLUS

DOCUMENT NUMBER: 141:106362

TITLE: Preparation of 1-substituted-3-pyrrolidine derivatives as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur; Sarma, Pakala Kumara Savithru

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

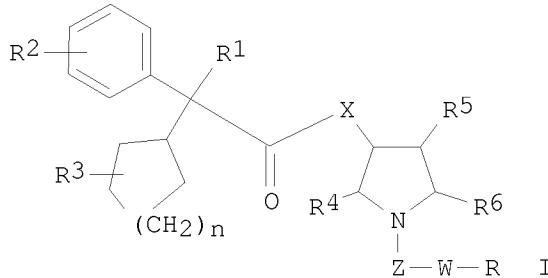
KIND

DATE

APPLICATION NO.

DATE

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WO 2004056767	A1	20040708	WO 2002-IB5590	20021223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002347552	A1	20040714	AU 2002-347552	20021223
EP 1583741	A1	20051012	EP 2002-783480	20021223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
IN 2005DN03262	A	20071130	IN 2005-DN3262	20050722
US 20060194862	A1	20060831	US 2006-540245	20060207
PRIORITY APPLN. INFO.:			WO 2002-IB5590	A 20021223
OTHER SOURCE(S):	CASREACT 141:106362; MARPAT 141:106362			
GI				



AB Title muscarinic receptor antagonists I ($X = O, NH$, etc.; $R1 = OH$, etc.; $R2 = H$, halo, alkyl; $R3 = H, OH$, etc.; $R4, R5, R6 = H, alkyl$; $Z = CH2, SO2, carbonyl$; $W = alkylene$, etc.; $R = alkyl, aryl$, etc.), useful for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors, are prepared. The affinity of these compds. for M2 and M3 muscarinic receptor subtype was tested. For example, (3S)-1-benzylpyrrolidin-3-yl cyclopentyl(hydroxy)phenylacetate was prepared and had $pKi = 6.13/7.17$ for the M2 and M3 receptor subtype resp.

IT 719278-60-1P

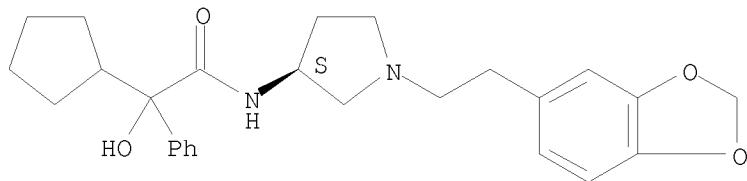
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-substituted-3-pyrrolidine derivs. as muscarinic receptor antagonists)

RN 719278-60-1 CAPLUS

CN Benzeneacetamide, N-[(3S)-1-[2-(1,3-benzodioxol-5-yl)ethyl]-3-pyrrolidinyl]- α -cyclopentyl- α -hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

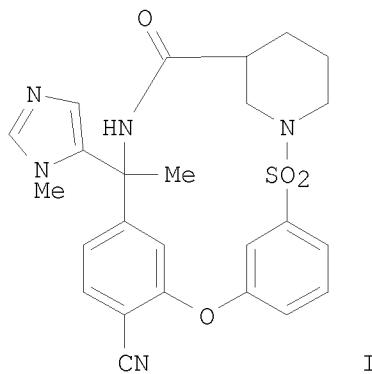


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:184902 CAPLUS
 DOCUMENT NUMBER: 136:263181
 TITLE: Macrocyclic inhibitors of prenyl-protein transferase
 INVENTOR(S): Desolms, S. Jane; Shaw, Anthony W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 155 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020015	A1	20020314	WO 2001-US27013	20010830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090588	A5	20020322	AU 2001-90588	20010830
PRIORITY APPLN. INFO.:			US 2000-230105P	P 20000905
			WO 2001-US27013	W 20010830

OTHER SOURCE(S): MARPAT 136:263181
 GI



AB Piperidine- and pyrrolidine-containing macrocyclic compds. which inhibit prenyl-protein transferase and the prenylation of the oncogene protein Ras were prepared. Thus, the macrocycles (14R,17R)- and (14R,17S)-I were prepared in multiple steps via fragment condensation. The products inhibited Ras farnesyl transferase with an IC₅₀ of <1 μ M.

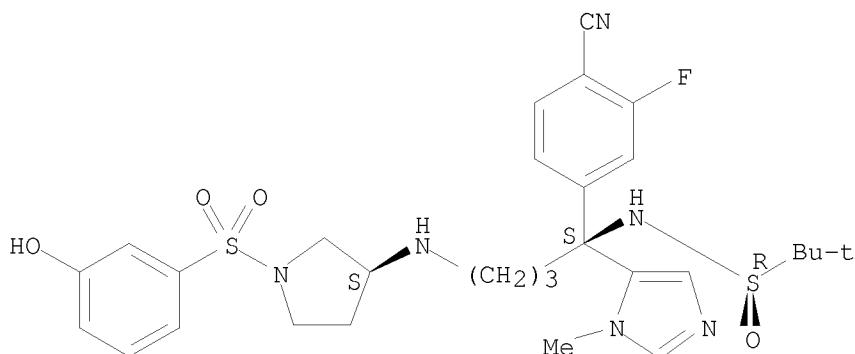
IT 403825-47-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrocyclic inhibitors of prenyl-protein transferase)

RN 403825-47-8 CAPLUS

CN 2-Propanesulfinamide, N-[(1S)-1-(4-cyano-3-fluorophenyl)-4-[(3S)-1-[(3-hydroxyphenyl)sulfonyl]-3-pyrrolidinyl]amino]-1-(1-methyl-1H-imidazol-5-yl)butyl]-2-methyl-, [S(R)]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:314672 CAPLUS

DOCUMENT NUMBER: 132:334358

TITLE: Preparation of pyrrolidine compounds as antagonists of serotonin 2 receptor

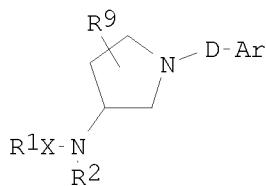
INVENTOR(S): Kuroita, Takanobu; Fujio, Masakazu; Nakagawa, Haruto

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026186	A1	20000511	WO 1999-JP6002	19991028
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2348879	A1	20000511	CA 1999-2348879	19991028
AU 9963673	A	20000522	AU 1999-63673	19991028
EP 1125922	A1	20010822	EP 1999-951139	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6468998	B1	20021022	US 2001-830718	20010501
PRIORITY APPLN. INFO.:			JP 1998-311868	A 19981102
			WO 1999-JP6002	W 19991028

OTHER SOURCE(S): MARPAT 132:334358

GI



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AB Described are pyrrolidine compds. represented by general formula [I; R¹ = Q-Q₅, etc. a proviso is given; R⁹ = H, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ hydroxyalkyl; X = CO, CS, NHCO, SO, SO₂; R² = H, alkyl, acyl, (un)substituted arylalkyl, (un)substituted aromatic ring, heterocyclic ring containing at least one atom selected from O, N, and S; D = C₁₋₆ (un)substituted alkyl, alkenyl, etc], optically active isomers thereof or pharmaceutically acceptable salts of the same; and medicinal compns. containing the compds. of general formula I, optically active isomers thereof or pharmaceutically acceptable salts of the same together with pharmaceutically acceptable additives. These compds. have an antagonism to serotonin 2 receptor, a platelet aggregation inhibitory effect, a peripheral circulation improving effect and a lacrimal secretion promoting effect, which makes them useful as drugs for thromboembolism, dry eye, etc. Thus, 2-(4-fluorophenyl)ethyl p-toluenesulfonate and

(S)-N-(pyrrolidin-3-yl)-1-adamantanecarboxamide were dissolved in DMF and stirred with K₂CO₃ at 70° for 5 h to give (S)-N-[1-[2-(4-fluorophenyl)ethyl]pyrrolidin-3-yl]-1-adamantanecarboxamide (II) which was converted into the HCl salt. II.HCl in vitro inhibited the binding of 3H-ketanserin to 5-HT₂ receptor preparation from rat cerebral cortex synapse with IC₅₀ of 0.18 nM vs. sarpogrelate. It in vitro showed IC₅₀ of 1.9 µg/mL for inhibiting the collagen-induced rabbit blood platelet aggregation vs. 260 and 1,378 for sarpogrelate and cilostazol, resp.

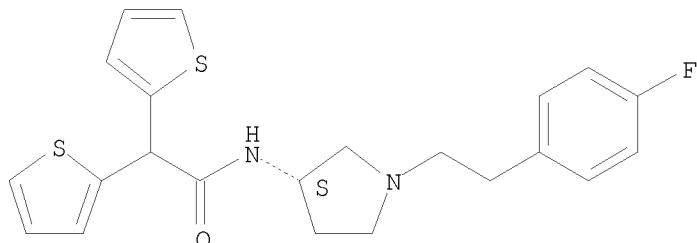
IT 267644-10-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine compds. as antagonists of serotonin 2 receptor for drugs)

RN 267644-10-0 CAPLUS

CN 2-Thiopheneacetamide, N-[(3S)-1-[2-(4-fluorophenyl)ethyl]-3-pyrrolidinyl]- α -2-thienyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log h
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
44.08	532.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE

SINCE FILE ENTRY	TOTAL SESSION
-6.40	-25.60

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:07:23 ON 19 MAY 2008